(FILE 'HOME' ENTERED AT 10:48:34 ON 30 AUG 2006) FILE 'REGISTRY' ENTERED AT 10:48:46 ON 30 AUG 2006 L1 SCREEN 2009 STRUCTURE UPLOADED L2 QUE L2 AND L1 L3 L4 2 S L3 SSS SAM L5 1317 S L3 SSS FULL FILE 'CAPLUS' ENTERED AT 10:49:55 ON 30 AUG 2006 L6 993 S L5 L7 10145 S DENDRIMER? 125 S GLYCODENDRIMER? L8 228095 S AGGREGAT? L9 L10 26 S L6 AND (L7 OR L8 OR L9) 8941 S GLYCAN L11 163335 S ?SACCHARIDE L12 L13 20520 S SIALIC L14 4004 S SIALYL 51242 S LACTOSE L15 39250 S MANNOSE L16 406931 S GLUCOSE L17 L18 14252 S NEURAMIN? 94291 S GLYCOSID? L19 34 S L6 AND (L11 OR L12 OR L13 OR L14 OR L15 OR L16 OR L17 OR L18 L20 58 S L10 OR L20 L21 L22 10474 S MULTIVALENT 7 S L22 AND L6 L23 3 S L23 NOT L21 L24 FILE 'REGISTRY' ENTERED AT 11:11:01 ON 30 AUG 2006 L25 SCREEN 2009 STRUCTURE UPLOADED L26

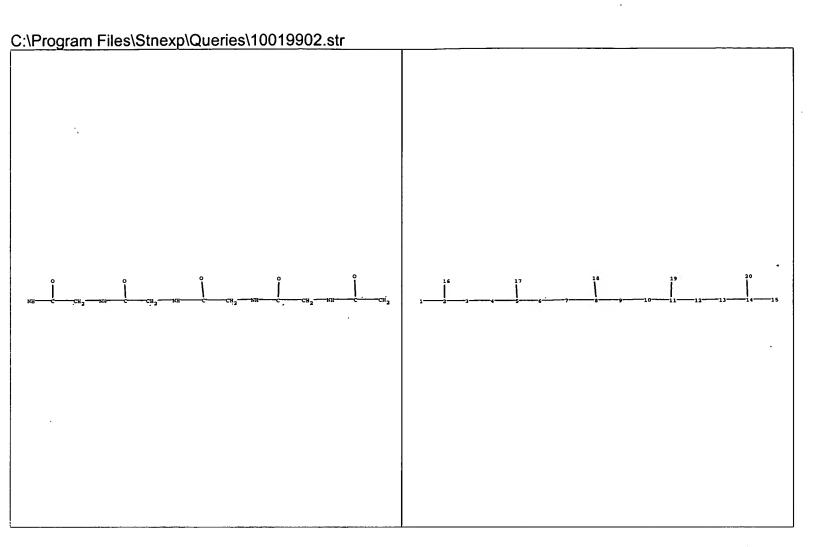
QUE L26 AND L25

0 S L27 SSS SAM

0 S L27 SSS FULL

L27 L28

L29



chain nodes:

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20

chain bonds:

1-2 2-3 2-16 3-4 4-5 5-6 5-17 6-7 7-8 8-9 8-18 9-10 10-11 11-12 11-19 12-13 13-14 14-15 14-20

exact/norm bonds:

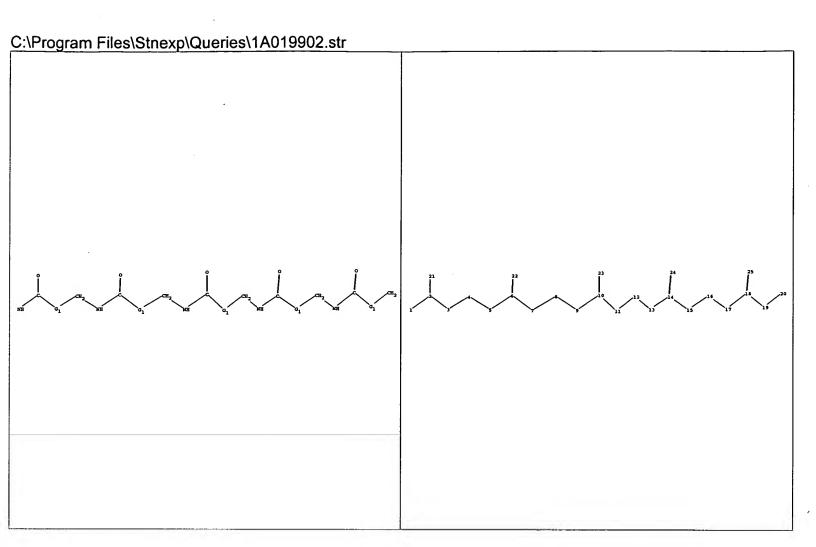
1-2 2-16 4-5 5-17 7-8 8-18 10-11 11-19 13-14 14-20

exact bonds:

2-3 3-4 5-6 6-7 8-9 9-10 11-12 12-13 14-15

Match level:

1:CLASS2:CLASS3:CLASS4:CLASS5:CLASS6:CLASS7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS 12:CLASS13:CLASS14:CLASS15:CLASS16:CLASS17:CLASS18:CLASS19:CLASS20:CLASS



chain nodes:

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25

chain bonds:

1-2 2-3 2-21 3-4 4-5 5-6 6-7 6-22 7-8 8-9 9-10 10-11 10-23 11-12 12-13 13-14 14-15 14-24 15-16 16-17 17-18 18-19 18-25 19-20

exact/norm bonds:

1-2 2-3 2-21 3-4 5-6 6-7 6-22 7-8 9-10 10-11 10-23 11-12 13-14 14-15 14-24 15-16 17-18 18-19 18-25 19-20

exact bonds:

4-5 8-9 12-13 16-17

G1:0,S

Match level:

1:CLASS2:CLASS3:CLASS4:CLASS5:CLASS6:CLASS7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS 12:CLASS13:CLASS14:CLASS15:CLASS16:CLASS17:CLASS18:CLASS19:CLASS20:CLASS21:CLASS 22:CLASS23:CLASS24:CLASS25:CLASS

```
ACCESSION NUMBER:
                                                2006:708099 CAPLUS <<LOGINID::20060830>>
DOCUMENT NUMBER:
                                                145:183715
                                                Detection of pathogenic prion proteins using
TITLE:
                                                specifically binding peptide reagents
                                                Chien, David Y.; Phelps, Bruce H.; Michelitsch,
INVENTOR(S):
                                                Melissa D.; Hu, Celine
PATENT ASSIGNEE(S):
                                                Chiron Corporation, USA
SOURCE:
                                                PCT Int. Appl., 92 pp.
                                                CODEN: PIXXD2
DOCUMENT TYPE:
                                                Patent
LANGUAGE:
                                                English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
          PATENT NO.
                                                KIND
                                                             DATE
                                                                                     APPLICATION NO.
                                                                                                                                  DATE
                                                                                 . WO 2006-US1433
         WO 2006076683
                                                 A2
                                                              20060720
                                                                                                                                 20060113
                 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                        CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
                        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
                        MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
                        VN, YU, ZA, ZM, ZW
                 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
                        CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
                        GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                        KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                                                                     US 2005-644315P
       Relatively small peptide reagents are provided that interact preferentially with the PrPsc form of the prion protein. Western blotting
          and ELISA binding assays indicate specific binding in brain homogenates,
          even without proteinase K digestion. Alanine scanning identified residues
         involved in binding, and binding to PrPSc was further enhanced by peptoid
          substitutions at the proline residues by a number of N-substituted glycines.
          The presence of oldsymbol{eta}-sheet structure in the pathogenic prion protein
          induces bound peptide probes to also shift to \beta-sheet structure,
         causing <u>aggregation</u> with surrounding peptide probes detectable by measuring fluorescence of pyrene fluor label at 460 nm by fluorescence
         spectroscopy. Methods of using the peptide reagents, antibodies to the
          reagents, prion motif-grafted hybrid polypeptides, and peptide probes for
          detection, diagnosis, purification, therapy, and prophylaxis for prions and
         prion-associated diseases are also described.
         846539-96-6
         RL: ARG (Analytical reagent use); BSU (Biological study, unclassified);
          DGN (Diagnostic use); PRP (Properties); ANST (Analytical study); BIOL
          (Biological study); USES (Uses)
               (detection of pathogenic prion proteins using specifically binding
               peptide reagents)
         846539-96-6 CAPLUS
RN
         \hbox{L-Valine, glycylglycylglycylglycylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryptophylglycyl-L-tryp
         \verb|glutaminylglycylglycyl-L-threonyl-L-histidyl-L-asparaginyl-L-|
         glutaminyl-L-tryptophyl-L-asparaginyl-L-lysyl-L-prolyl-L-seryl-L-lysyl-L-
         prolyl-L-lysyl-L-threonyl-L-asparaginyl-L-leucyl-L-lysyl-L-histidyl- (9CI)
             (CA INDEX NAME)
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L21 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-A

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

PAGE 2-B

PAGE 3-B

L21 ANSWER 2 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:208426 CAPLUS <<LOGINID::20060830>>

144:452320

DOCUMENT NUMBER: TITLE: Asp-Gly Based Peptides Confined at the Surface of

Cationic Gemini Surfactant <u>Aggregates</u> Brizard, Aurelie; Dolain, Christel; Huc, Ivan; Oda, AUTHOR(S):

Reiko

CORPORATE SOURCE: Institut Europeen de Chimie et Biologie, Pessac,

33607, Fr.

SOURCE: Langmuir (2006), 22(8), 3591-3600

CODEN: LANGD5; ISSN: 0743-7463 American Chemical Society

PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English

Cationic gemini surfactants complexed with anionic oligoglycine-aspartate

(called gemini peptides hereafter) were synthesized, and their <u>aggregation</u> behaviors were studied. The effects of the

hydrophobic chain length (C10-C22) and the length of the oligoglycine (0-4) were investigated, and it was clearly shown by critical micellar

concentration, Krafft temperature, and isothermal surface pressure measurements that

the hydrophobic effect and interpeptidic interaction influence the $% \frac{1}{2}\left(\frac{1}{2}\right) =0$ aggregation behavior in a cooperative manner. Below their Krafft

temps., some of them formed both hydro- and organogels with

three-dimensional networks and the Fourier transform IR measurements show

the presence of interpeptidic hydrogen bonds.

TT 885606-11-1 885606-15-5

RL: PRP (Properties)

(gel; peptides confined at the surface of cationic gemini surfactant

aggregates)

RN 885606-11-1 CAPLUS

L-Aspartic acid, N-acetylglycylglycylglycylglycyl-, ion(2-),

N,N'-dieicosyl-N,N,N',N'-tetramethyl-1,2-ethanediaminium (1:1) (9CI) (CA

INDEX NAME)

CM 1

CRN 885606-02-0

CMF C14 H19 N5 O9

Absolute stereochemistry.

2 CM

CRN 850208-26-3 CMF C46 H98 N2

Me Me Me
$$(CH_2)_{19} = N + CH_2 - CH_2 - N + (CH_2)_{19} - Me$$
 Me Me Me

885606-15-5 CAPLUS

L-Aspartic acid, N-acetylglycylglycylglycylglycyl-, ion(2-), N,N'-didocosyl-N,N,N',N'-tetramethyl-1,2-ethanediaminium (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 885606-02-0 C14 H19 N5 O9

Absolute stereochemistry.

CM 2

CRN 850208-23-0 CMF C50 H106 N2

Me Me Me
$$| \frac{1}{1} + CH_2 - CH_2 - \frac{1}{N} + (CH_2)_{21} - Me$$
 Me Me Me

885606-03-1P ΙT

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (gel; peptides confined at the surface of cationic gemini surfactant aggregates) 885606-03-1 CAPLUS

RN

L-Aspartic acid, N-acetylglycylglycylglycylglycyl-, ion(2-), CN N,N,N',N'-tetramethyl-N,N'-dioctadecyl-1,2-ethanediaminium (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 885606-02-0 CMF C14 H19 N5 O9

Absolute stereochemistry.

CM

CRN 677324-34-4 CMF C42 H90 N2

IT 885606-07-5

RL: PRP (Properties) (sol-gel; peptides confined at the surface of cationic gemini surfactant aggregates)

RN 885606-07-5 CAPLUS

(CA INDEX NAME)

CM 1 CRN 885606-02-0 CMF C14 H19 N5 O9

Absolute stereochemistry.

CM

CRN 92466-22-3 CMF C38 H82 N2

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:75322 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 144:177371

TITLE:

Therapeutic peptides, conjugated to antibody Fc and water-soluble polymer, with improved bioefficacy in

multidose administration

INVENTOR(S): Walker, Kenneth William; Kinstler, Olaf B.; Stiney,

Karen

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 119 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIN	D	DATE	ATE APPLICATION NO.						DATE				
	WO	WO 2006010057			A2		20060126			WO 2005-US24373					20050708			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KМ,	KP,	KR,	ΚZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
			ZA,	ZM,	ZW													
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
								NA,										
			KG,	ΚZ,	MD,	RU,	ТJ,	TM										
PRIORITY APPLN. INFO.: US 2004-586419P P 20040708									708									
AB	AB The invention relates to compds. that exhibit improved bioefficacy in																	
	multidose administration. More specifically, the invention relates to																	

AΒ polypeptides or peptides modified to include an antibody Fc region and one or more water soluble polymers. Thus, a murine Fc domain fused to a c-Mpl-binding peptide, a thrombopoietin mimic, was prepared with transgenic E. coli. The recombinant protein was modified by reaction with methoxypolyethylene glycol aldehyde. This PEGylated protein was shown to induce platelet aggregation that did not decrease when administered to mice in a multiple dosage regimen.

267234-57-1 267234-59-3

RL: PRP (Properties)
 (unclaimed protein sequence; th

(unclaimed protein sequence; therapeutic peptides, conjugated to antibody Fc and water-soluble polymer, with improved bioefficacy in multidose administration)

RN 267234-57-1 CAPLUS

CN

L-Alanine, L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-Lleucyl-L-arginyl-L-glutaminyl-L-cysteinyl-L-leucyl-L-alanyl-L-alanyl-Larginyl-L-alanylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-Lisoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginylL-glutaminyl-L-cysteinyl-L-leucyl-L-alanyl-L-arginyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-D

PAGE 2-E

PAGE 3-A

$$\begin{array}{c|c} O & Me \\ \hline N & S \\ \hline R2 & Me \\ \end{array} \begin{array}{c} Me \\ N \\ N \\ \end{array} \begin{array}{c} H \\ N \\ N \\ R \\ \end{array} \begin{array}{c} NH \\ NH_2 \\ NH_2 \\ \end{array}$$

 $\label{lem:condition} $$ \underset{\begin{subarray}{l} arginyl-L-alanylglycylglycylglycylglycylglycylglycylglycyl-L-isoleucyl-L-\alpha-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-alanyl-L-leucyl-L-alanyl-L-arginyl- (9CI) (CAINDEX NAME)$

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-D

PAGE 2-A

PAGE 2-E

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со2н
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L21 ANSWER 4 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                                                2006:54772 CAPLUS <<LOGINID::20060830>>
DOCUMENT NUMBER:
                                                 144:121763
                                                Use of anti-amyloid agents for treating and typing
TITLE:
                                                pathogen infections
INVENTOR(S):
                                                Gazit, Ehud; Cherny, Izhack
                                                Ramot at Tel Aviv University Ltd., Israel
PATENT ASSIGNEE(S):
                                                PCT Int. Appl., 83 pp.
SOURCE:
                                                CODEN: PIXXD2
DOCUMENT TYPE:
                                                 Patent
LANGUAGE:
                                                English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
         PATENT NO.
                                                KIND
                                                              DATE
                                                                                      APPLICATION NO.
                                                                                                                                   DATE
                                                _---
         WO 2006006172
                                                 A2
                                                              20060119
                                                                                      WO 2005-IL754
                                                                                                                                   20050714
         WO 2006006172
                                                              20060504
                                                 АЗ
                 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
                        GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
                        LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
                         SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
                         ZA, ZM, ZW
                 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
                        IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
                         GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
                         KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                                                                      US 2004-587899P
                                                                                                                             P 20040715
OTHER SOURCE(S):
                                                MARPAT 144:121763
        A method of preventing or treating a pathogen infection in a subject is
          provided. The method comprising administering to a subject in need
          thereof a therapeutically effective amount of an anti amyloid agent, thereby
          treating or preventing the pathogen infection in the subject. According
          to yet another aspect of the present invention there is provided a method
         of typing a pathogen, the method comprising monitoring an alteration in
          growth and/or infectivity of the pathogen in the presence of an
         anti-amyloid agent, thereby typing the pathogen. According to still
          another aspect of the present invention there is provided a method of
          identifying an anti-amyloid agent. According to an addnl. aspect of the
         present invention there is provided a medical device comprising an anti-amyloid agent attached thereto. According to still further features
          in the described preferred embodiments the anti-amyloid agent is a
         proteinaceous agent or a non-proteinaceous agent.
         873685-96-2
          RL: PRP (Properties)
                (unclaimed sequence; use of anti-amyloid agents for treating and typing
               pathogen infections)
         873685-96-2 CAPLUS
         \verb|L-Glutamine|, glycyl-L-seryl-L-prolylglycylglycyl-L-asparaginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginy
          tyrosyl-L-prolyl-L-prolyl-L-glutaminylglycylglycylglycylglycylglycyl-
```

Absolute stereochemistry.

(9CI) (CA INDEX NAME)

PAGE 1-A

$$H_2N$$
 H_2N
 H_2N
 H_3
 H_4N
 H_4N
 H_5
 H_4N
 H_5
 H_4N
 H_5
 H_5

PAGE 1-B

PAGE 1-C

L21 ANSWER 5 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:1259708 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER:

144:19226

```
TITLE:
                                           Peptide standards for quantification of human serum
                                           glycoproteins using mass spectrometry
INVENTOR(S):
                                           Aebersold, Rudolph H.; Zhang, Hui
                                           The Institute for Systems Biology, USA
PATENT ASSIGNEE(S):
SOURCE:
                                           PCT Int. Appl., 193 pp.
                                           CODEN: PIXXD2
DOCUMENT TYPE:
                                           Patent
LANGUAGE:
                                           Enalish
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
        PATENT NO.
                                           KIND
                                                       DATE
                                                                           APPLICATION NO.
                                                                                                                   DATE
                                           ----
        WO 2005114221
                                            A2
                                                       20051201
                                                                           WO 2005-US17842
                                                                                                                   20050520
                                            Cl
        WO 2005114221
                                                       20060504
               W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                      CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
                      GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
                     LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
               ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
                     AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
        US 2006141528
                                            A1
                                                       20060629
                                                                            US 2005-134871
PRIORITY APPLN. INFO.:
                                                                            US 2004-573593P
                                                                                                              P 20040521
        The invention provides compns. and methods for identifying and/or \ensuremath{\mathsf{T}}
        quantifying glycopolypeptides from human serum or plasma on a
        proteome-wide scale. The methods can be used to determine changes in the
        abundance of glycoproteins and changes in the state of glycosylation at
        individual glycosylation sites on these glycoproteins that occur in
        response to perturbations of biol. systems and organisms in health and
        disease. The method includes the steps of derivatizing glycopolypeptides
        in the sample and immobilizing the derivatized sample glycopolypeptides to
        a solid support (hydrazine resin). The immobilized sample glycopolypeptides are then cleaved to release non-glycosylated peptide
        fragments and retain the immobilized sample glycopeptide fragments. The
        immobilized glycopeptide fragments are labeled with an isotope tag and
        released from the solid support, thereby generating released sample
        glycopeptide fragments. A plurality of standard peptides containing glycosylation
        site(s) are added to the released sample glycopeptide fragments, wherein
        the std peptides are differentially labeled with a corresponding isotope
        tag. The released sample glycopeptide fragments are analyzed using mass
        spectrometry, and those that correspond to standard peptides are identified.
        The compns. and methods include 3517 standard peptides containing glycosylation
        sites determined for human serum/plasma proteins. Differential expression of
        specific glycopeptide markers is demonstrated in prostate cancer tissues
        as compared to normal tissues.
ΤT
        870164-72-0 870168-25-5 870175-56-7
        870179-92-3 870185-02-7
        RL: ARU (Analytical role, unclassified); ANST (Analytical study)
              (peptide stds. for quantification of human serum glycoproteins using
              mass spectrometry)
RN
        870164-72-0 CAPLUS
        L-Serine, L-lysyl-L-asparaginyl-L-asparaginyl-L-seryl-L-prolylglycyl-L-
        threonyl-L-alanyl-L-\alpha-glutamylglycyl-L-cysteinylglycylglycylglycylgl
        ycylglycylglycylglycylglycylglycylglycyl-L-serylglycylglycyl-L-
        serylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl
        α-aspartyl-L-lysyl- (9CI) (CA INDEX NAME)
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN
        870168-25-5 CAPLUS
        L-Alanine, L-arginyl-L-leucyl-L-α-glutamyl-L-α-glutamyl-L-
        phenylalanyl-L-\alpha-glutamylglycylglycylglycylglycylglycyl-L-\alpha-glutamylglycylglycylglycylglycylglycylglycylglycyl-L-\alpha-glutamylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylg
        glutamylglycyl-L-asparaginyl-L-valyl-L-seryl-L-glutaminyl-L-valylglycyl-L-
        arginyl-L-valyl-L-tryptophyl-L-prolyl-L-seryl-L-seryl-L-tyrosyl-L-arginyl-
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Absolute stereochemistry.

(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-A

PAGE 4-B

PAGE 6-A

RN 870175-56-7 CAPLUS

CN L-Serine, L-arginylglycylglycyl-L-serylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-tyrosyl-L-asparaginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN

870179-92-3 CAPLUS Glycine, L-lysyl-L-histidyl-L-serylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-alanyl-L-a-aspartyl-L-prolyl-L-alanyl-L-tryptophyl-L-threonyl-L-seryl-L-alanyl-L-leucyl-L-serylglycyl-L-asparaginyl-L-seryl-L-seryl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & &$$

RN

870185-02-7 CAPLUS L-Methionine, L-arginyl-L-valyl-L-asparaginyl-L-arginyl-L-seryl-L-valyl-L-histidyl-L- α -glutamyl-L-tryptophyl-L-alanylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-alanyl-L-threonyl-L-tyrosyl-L-valyl-L-phenylalanyl-L-lysyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

PAGE 1-D

PAGE 2-A

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L21 ANSWER 6 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                            DOCUMENT NUMBER:
                            143:243021
                            Genes for essential non-metabolic functions as
TITLE:
                            selectable markers on expression vectors for protein
                            manufacture
INVENTOR(S):
                            Sedgwick, Steven; Geymonat, Marco
PATENT ASSIGNEE(S):
                            Medical Research Council, UK
SOURCE:
                            PCT Int. Appl., 70 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                           KIND
                                   DATE
                                                 APPLICATION NO.
                                                                           DATE
     WO 2005078105
                            A2
                                    20050825
                                                 WO 2005-GB372
                                                                           20050204
     WO 2005078105
                            АЗ
                                    20060608
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                                 GB 2004-2660
AB A method of using genes for essential non-metabolic functions genes as
     selectable markers to maintain expression vectors in a host. These genes \bar{\mathbf{T}}
     may be involved in processes such as mitosis, cell cycle control, or cell
     division, and the host cell carries a mutation in the corresponding
     chromosomal gene. This avoids the need to use antibiotic resistance
     markers or special nutritional media. These markers are therefore
     non-conditional, and selection pressure is absolute The gene is preferably
      one that has a relatively small open reading frame that will not make the
      expression vector too large. The markers involved are genes which encode
     essential survival factors, such that loss of the marker gene is lethal.
     The cells can conveniently be obtained by a plasmid shuffling procedure.
IT
     863015-07-0
```

RL: PRP (Properties)

(unclaimed sequence; genes for essential non-metabolic functions as selectable markers on expression vectors for protein manufacture)

RN 863015-07-0 CAPLUS

CN Glycine, L-α-aspartyl-L-leucyl-L-valyl-L-prolyl-L-arginylglycyl-Lseryl-L-prolylglycyl-L-isoleucyl-L-serylglycylglycylglycylglycylglycylglycyl-Lα-glutamyl-L-alanyl-L-tryptophyl-L-tyrosyl-L-arginyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

$$H_{2N}$$
 H_{2N}
 H

PAGE 1-B

PAGE 1-C

$$H_{2N}$$
 H_{2N}
 H

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L21 ANSWER 7 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                            DOCUMENT NUMBER:
                             142:397755
TITLE:
                             Fibrinogen targeting microparticles for promoting
                             hemostasis
INVENTOR(S):
                             Goodall, Alison Helena; Middleton, Sarah Margaret
PATENT ASSIGNEE(S):
                             University of Leicester, UK
SOURCE:
                             PCT Int. Appl., 63 pp.
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                                     DATE
                                                                             DATE
                             KIND
                                                  APPLICATION NO.
                             ----
     WO 2005035002
                             A1
                                     20050421
                                                  WO 2004-GB4235
                                                                             20041007
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
          NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
              SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
     AU 2004280115
                                     20050421
                                                  AU 2004-280115
                                                                             20041007
                              A 1
      CA 2541005
                              AA
                                     20050421
                                                   CA 2004-2541005
                                                                             20041007
                                                  EP 2004-768770
      EP 1677829
                             A1
                                     20060712
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                                                   GB 2003-23378
PRIORITY APPLN. INFO.:
                                                                       A 20031007
                                                   WO 2004-GB4235
     The present invention provides an injectable pharmaceutical product
      comprising an agent, the agent comprising an insol. carrier to which is
      bound a peptide, the peptide being capable of binding fibrinogen such that
      the agent binds via the bound fibrinogen to activated platelets in
      preference to inactive platelets, and wherein the peptide is not
      fibrinogen. The ability of a peptide comprising a GPRP N-terminal
      sequence to bind fibrinogen can be modified by inclusion of a spacer
```

between the peptide and the microsphere. Without the spacer only 6% of the microspheres carry fibrinogen but with a spacer consisting of 3 or 6 glycine residues, >90% of the microspheres bind fibrinogen.

IT 849753-54-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fibrinogen targeting microparticles for promoting hemostasis)

CN L-Cysteine, glycyl-L-prolyl-L-arginyl-L-prolylglycylglycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849753-54-4 CAPLUS

RN

PAGE 1-A

PAGE 1-B

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 8 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:207840 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 142:274073

TITLE: Compositions and methods for treating cellular response to injury and other proliferating cell

disorders regulated by hyaladherin and hyaluronans Turley, Eva A.; Cruz, Tony F.

INVENTOR(S):

PATENT ASSIGNEE(S): Can.

SOURCE: U.S., 115 pp., Cont.-in-part of U.S. Ser. No. 541,522,

abandoned. CODEN: USXXAM

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6864235	В1	20050308	US 2000-685010	20001005
US 2003100490	A1	20030529	US 2001-978309	20011015
US 6911429	B2	20050628		
US 2005058646	A1	20050317	US 2004-898675	20041129
US 2005065085	A1	20050324	US 2004-892831	20041129
RIORITY APPLN. INFO.:			US 1999-127457P	P 19990401
			US 2000-541522	B2 20000403
			US 2000-685010	A2 20001005
			US 2001-978309	A3 20011015

The present invention provides compns. and methods for treating a tissue disorder associated with a response-to-injury process or proliferating cells

in a mammal. The tissue disorders include fibrosis, inflammation, degeneration and invasive disorders such as those occur in cancerous cells. The invention provides methods for detecting hyaluronic acid in a sample comprising: incubating the sample with RHAMM polypeptide and with RHAMM-binding protein and detecting the complex formed by using antibody. The methods provided herein include administering to the mammal, an effective amount of a composition that alters the activity of transition mols. within a cell. Transition mols. are shown to be comprised of hyaladherins, hyaluronans and associated mols. that regulate the transitional phenotype.

ΙT 27188-13-2

> RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synthetic peptide; compns. and methods for treating cellular response to injury and other proliferating cell disorders regulated by hyaladherin and hyaluronans)

RN 27188-13-2 CAPLUS

L-Arginine, L-arginylglycylglycylglycylglycylglycylglycylglycyl- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$H_2N$$
 H_1
 H_2N
 H_1
 H_2N
 H_1
 H_1
 H_2N
 H_1
 H_2N
 H_1
 H_2N
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 H_1
 H_2N
 H_1
 $H_$

PAGE 1-B

REFERENCE COUNT:

177 THERE ARE 177 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:60008 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 142:151563

TITLE: Enzymic assay for glycated proteins using amadoriase

fusion protein

INVENTOR(S): Yuan, Chong-Sheng; Datta, Abhijit; Wang, Yuping

PATENT ASSIGNEE(S): USA SOURCE:

U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE		i	APPL:	ICAT:	ION	NO.		D/	ATE	
					-											
US 2005	0149	35		A1		2005	0120	1	JS 2	003-	6228	93		20	0030	717
CA 2532	557			AA		2005	0224	(CA 2	004-2	2532	557		20	040	716
WO 2005017136				A1		20050224		WO 2004-US22908				20	20040716			
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													ΚP,			
	LK,	LR,	LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝA,	NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, $\mathsf{TJ}, \ \mathsf{TM}, \ \mathsf{TN}, \ \mathsf{TR}, \ \mathsf{TT}, \ \mathsf{TZ}, \ \mathsf{UA}, \ \mathsf{UG}, \ \mathsf{US}, \ \mathsf{UZ}, \ \mathsf{VC}, \ \mathsf{VN}, \ \mathsf{YU}, \ \mathsf{ZA}, \ \mathsf{ZM}, \ \mathsf{ZW}$ RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1651759 20060503 EP 2004-778420 A1 20040716 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, R: IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK PRIORITY APPLN. INFO.: US 2003-622893 20030717 WO 2004-US22908 W 20040716

AB This invention relates generally to the field of glycated protein detection. In particular, the invention provides chimeric proteins, nucleic acids encoding the chimeric proteins, methods and kits for assaying for a glycated protein in a sample, using inter alia, an amadoriase. The present invention is directed to a method for assaying for a glycated protein in a sample, which method comprises: (a) contacting a sample to be assayed with a protease to generate a glycated peptide or a glycated amino acid from a glycated protein, if contained in said sample; (b) contacting said generated glycated peptide or glycated amino acid with a chimeric protein comprising, from N-terminus to C-terminus: (i) a first peptidyl fragment comprising a bacterial leader sequence from about 5 to about 30 amino acid residues; and (ii) a second peptidyl fragment comprising an amadoriase, to oxidize said glycated peptide or glycated amino acid; and (c) assessing oxidation of said glycated peptide or glycated amino acid by said chimeric protein to determine the presence and/or amount of said glycated protein in said sample. The exemplary assay kit is for determination of glycated serum proteins (fructosamine) in human serum. Fructosamine is formed due to a nonenzymic Maillard reaction between glucose and amino acid residues of proteins. In diabetic patients, elevated blood <u>glucose</u> levels correlate with increased fructosamine formation. Fructosamine is a medium term indicator of diabetic control (2-3 wk). The exemplary enzymic assay for glycated serum proteins (GSP) uses Proteinase K to digest GSP into low mol. weight glycated protein fragments (GPF), and uses Diazyme's specific fructosaminase, a microorganism originated amadoriase to catalyze the oxidative degradation of Amadori product GPF to yield PF or amino acids, glucosone and H2O2. The H2O2 released is measured by a colorimetric Trinder endpoint reaction. The absorbance at 550 nm is proportional to the concentration of glycated serum proteins (GSP).

IT <u>827613-82-1</u>

RL: PRP (Properties) (unclaimed sequence; enzymic assay for glycated proteins using amadoriase fusion protein)

RN 827613-82-1 CAPLUS

CN Glycine, L-alanyl-L-prolyl-L-seryl-L-isoleucyl-L-leucyl-L-seryl-L-threonyl-L-α-glutamyl-L-seryl-L-seryl-L-isoleucyl-L-isoleucyl-L-valyl-L-isoleucyl-L-tryptophylglycylg

Absolute stereochemistry.

L21 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:999537 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 141:427734

TITLE: Controlled release of active agents from personal care

product compositions utilizing repeat sequence protein

polymers

INVENTOR(S): Kumar, Manoj; Mazeaud, Isabelle; Christiano, Steven

Patrick

PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 34 pp. CODEN: USXXCO SOURCE:

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004228913	A1	20041118	US 2004-845775	20040514
CA 2524710	AA	20041202	CA 2004-2524710	20040514
WO 2004104021	A2	20041202	WO 2004-US15318	20040514
WO 2004104021	A3	20051124		
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CN, CO, CR.	CU, CZ	, DE, DK, D	M, DZ, EC, EE, EG, ES.	FI. GB. GD.

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1624864 A2 20060215 EP 2004-752349 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR PRIORITY APPLN. INFO.: US 2003-470465P P 20030514 WO 2004-US15318 W 20040514 Systems are provided for the controlled release delivery of active agents AB through the use of repeat sequence protein polymers. The protein polymer contains repeating amino acid units derived from elastin, collagen, abductin, leminin, fibronectin, gliadin, keratin, byssus, silk, ice-nucleating protein. The systems may exist as matrixes, gels, hydrogels, films, emulsions or microparticles and are particularly useful for incorporating active agents into personal care product compns. A personal care compns are provided which include an effective amount of a repeat sequence protein polymer. The personal care composition may be a hair care composition, a skin care composition, a nail care composition, a cosmetic composition, or an over-the-counter pharmaceutical composition Thus, SELP47K, a silk-elastin repeat sequence protein block copolymer, was expressed in transgenic Escherichia coli. The glass transition temperature and tensile strength of SELP47K were determined SELP47K could be spun into a film composed of a non-woven web of nanofilaments 20-45~nm in diameter and 100~nm to $1~\text{\mu m}$ long. 793727-03-4 793727-09-0 IT RL: BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses) (amino acid repeat sequence; controlled release of active agents from personal care product compns. utilizing repeat sequence protein polymers) RN 793727-03-4 CAPLUS Glycine, glycylglycylglycyl-L-alanylglycyl-L-threonyl-Lthreonyl glycylglycyl-L-threonyl glycyl-L-threonyl-L-alanyl-L-cysteinyl-L-alanyl-Lcysteinyl-L-threonylglycylglycyl-L-alanylglycyl-L-alanyl-Lalanylglycylglycyl-L-threonylglycyl-L-threonyl-L-threonyl-L-cysteinyl-L-

(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

cysteinylglycylglycylglycylglycyl-L-threonyl-L-alanylglycyl- (9CI)

PAGE 1-D

PAGE 1-C

RN 793727-09-0 CAPLUS

CN Glycine, glycylglycylglycyl-L-alanylglycyl-L-threonyl-Lthreonylglycylglycylglycylglycyl-L-threonyl-L-alanyl-L-cysteinyl-Lcysteinyl-L-threonylglycylglycyl-L-alanyl-L-cysteinylglycyl-Lalanylglycylglycyl-L-threonylglycyl-L-threonyl-L-threonyl-L-cysteinyl-Lcysteinylglycylglycylglycylglycylglycyl-L-threonyl-L-alanylglycyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-E

L21 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:582877 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 141:277872

TITLE: From IHF Protein to Design and Synthesis of a

Sequence-Specific DNA Bending Peptide

AUTHOR(S):

Liebler, Eduard K.; Diederichsen, Ulf Institut fuer Organische und Biomolekulare Chemie, CORPORATE SOURCE:

Universitaet Goettingen, Goettingen, D-37077, Germany

Organic Letters (2004), 6(17), 2893-2896 CODEN: ORLEF7; ISSN: 1523-7060 SOURCE:

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

CASREACT 141:277872 OTHER SOURCE(S):

The design and synthesis of a small peptide that mimics the integration host factor (IHF), a major nucleoid-associated protein, is reported. IHF induces DNA compaction by sequence-specific binding that leads to significant bending of the DNA double strand. In a modular approach a small L-lysine <u>dendrimer</u> responsible for nonspecific charge-charge interactions was linked to a cyclopeptide. The latter was

designed for specific DNA recognition in the minor groove followed by bending of the double strand.

IT 756875-52-2P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of an IHF protein-based dendrimeric peptides, and study of their recognition and bending of DNA double strand)

RN 756875-52-2 CAPLUS

CN Cyclo[3-[N2,N6-bis[N2,N6-bis(N6,N6-dimethyl-L-lysyl)-L-lysyl]-Llysylglycylglycylglycylglycylglycylglycyl]amino]-L-alanyl-Dprolylglycyl-L-arginyl-L-asparaginyl-L-prolyl-L-lysyl-L-threonylglycyl-Lα-glutamyl-L-α-aspartyl-L-isoleucyl] (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 756875-53-3P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of an IHF protein-based dendrimeric peptides, and study of their recognition and bending of DNA double strand) 756875-53-3 CAPLUS

RN

CN Glycine, N2,N6-bis{N2,N6-bis{N2-[(1,1-dimethylethoxy)carbonyl]-N6,N6dimethyl-L-lysyl]-L-lysyl]-L-lysylglycylglycylglycylglycylglycylglycyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

REFERENCE COUNT:

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 12 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

20

ACCESSION NUMBER: 2004:182368 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 140:229401

TITLE: Three hybrid assay system for isolating ligand-binding

10/019,902

polypeptides and for isolating small mol. ligands INVENTOR(S): Come, Jon H.; Becker, Frank; Kley, Nikolai A.;

Reichel, Christoph

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S.

Ser. No. 91,177. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004043388 US 2003165873	A1 A1	20040304	US 2002-234985 US 2002-91177		20020903
US 2004266854	Al	20030304	US 2004-820453	_	20040407
PRIORITY APPLN. INFO.:			US 2001-272932P US 2001-278233P	P P	20010302 20010323
			US 2001-329437P US 2002-91177	P A2	20011015 20020304
			US 2001-336962P WO 2002-US6677	P	20011203
			US 2002-234985	A2	20020903.
			WO 2002-US33052 US 2003-460921P	A2 P	20021015 20030407
			US 2003-531872P	P	20031223

AB The invention provides compns. and methods for isolating ligand-binding polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety linked by a polyethylene gycol moiety to dexamethasone, is described.

IT 145935-81-5

RL: PRP (Properties)

(unclaimed sequence; three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

RN 145935-81-5 CAPLUS

CN L-Serine, N-[N-[N-[N-(N-glycylglycyl)glycyl]glycyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L21 ANSWER 13 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:912949 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 139:399684

TITLE: Ferritin fusion proteins for use in vaccines and other

applications

INVENTOR(S): Carter, Daniel C.; Li, Chester Q. PATENT ASSIGNEE(S): New Century Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2003094849 WO 2003094849	A2 2003112 A3 2004041		20030512			
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		G, MK, MN, MW, MX, MZ, NO,				

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            RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                                                               AU 2003-228962
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       CA 2485363
                                     AA
                                              20031120
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       US 2004006001
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                                              20040108
                                                                US 2003-435666
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                                     B2
                                              20060829
       EP 1504037
                                     A2
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                                                                EP 2003-726739
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PRIORITY APPLN. INFO.:
                                                                US 2002-379145P
                                                                                             P 20020510
                                                                                           W 20030512
                                                                WO 2003-US14617
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An isolated ferritin fusion protein is provided in which ferritin is fused to a protein or peptide capable of being fused to ferritin without interfering with the polymer self-assembly of the resulting fusion protein; the protein may be of the endocapsid form when fused at the C terminus or an exocapsid form when fused at the N terminus. These fusion proteins may self-assemble into a variety of useful higher polymeric forms, e.g., capsid or other polymeric <u>aggregates</u>. The proteins may be used in a variety of applications, including human and veterinary vaccines and therapeutics, blood substitutes, image contrast agents, metal chelating agents, gelling agents, protein purification platforms, and therapeutic receptor-binding proteins. The examples depict: recombinant fusion of human α chain Hb to the human ferritin C terminus via a single glycine spacer; recombinant fusion of silver condensing peptide to the C terminus of human ferritin via a 2-glycine spacer; recombinant fusion of HIV Tat protein (84mer) to the ferritin N terminus via a 6-glycine spacer; recombinant fusion of a small HIV Tat peptide to human L chain ferritin via a 6-glycine spacer; and recombinant fusion of HIV p24 to the ferritin N terminus via a 6-glycine spacer sequence. TΤ 3887-13-6

RL: PRP (Properties)

(unclaimed sequence; ferritin fusion proteins for use in vaccines and other applications) $% \left(1\right) =\left(1\right) \left(1\right$

RN 3887-13-6 CAPLUS

CN Glycine, glycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-B

— ин2

L21 ANSWER 14 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:656217 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 139:196251

TITLE: Multiple antigen glycopeptide carbohydrate vaccine
INVENTOR(S): Bay, Sylvie; Cantacuzene, Daniele; Leclerc, Claude;

Lo-Man, Richard; Vicher-Guerre, Sophie

PATENT ASSIGNEE(S): Institut Pasteur, Fr.

SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.

Ser. No. 49,847. CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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6946			B2		20040113											
9843677					1998	1008	WO 1998-EP1922						19980327			
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WO 1998-EP1922											22	1	A 1	9980	327	
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7 1 2	76946 13677 : AL, DK, KP, NO, UA, V: GH, FR, GA, D40588	A3677 AL, AM, DK, EE, KP, KR, NO, NZ, UA, UG, W: GH, GM, FR, GB, GA, GN,	76946 13677 : AL, AM, AT, DK, EE, ES, KP, KR, KZ, NO, NZ, PL, UA, UG, US, N: GH, GM, KE, FR, GB, GR, GA, GN, ML,	76946 B2 13677 A1 : AL, AM, AT, AU, DK, EE, ES, FI, KP, KR, KZ, LC, NO, NZ, PL, PT, UA, UG, US, UZ, N: GH, GM, KE, LS, FR, GB, GR, IE, GA, GN, ML, MR, 04058859 A1	16946 B2 13677 A1 AL, AM, AT, AU, AZ, DK, EE, ES, FI, GB, KP, KR, KZ, LC, LK, NO, NZ, PL, PT, RO, UA, UG, US, UZ, VN, W: GH, GM, KE, LS, MW, FR, GB, GR, IE, IT, GA, GN, ML, MR, NE, 04058859 A1	16946 B2 2004 13677 A1 1998 : AL, AM, AT, AU, AZ, BA, DK, EE, ES, FI, GB, GE, KP, KR, KZ, LC, LK, LR, NO, NZ, PL, PT, RO, RU, UA, UG, US, UZ, VN, YU, N: GH, GM, KE, LS, MW, SD, FR, GB, GR, IE, IT, LU, GA, GN, ML, MR, NE, SN, 04058859 A1 2004	16946 B2 20040113 13677 A1 19981008 : AL, AM, AT, AU, AZ, BA, BB, DK, EE, ES, FI, GB, GE, GH, KP, KR, KZ, LC, LK, LR, LS, NO, NZ, PL, PT, RO, RU, SD, UA, UG, US, UZ, VN, YU, ZW N: GH, GM, KE, LS, MW, SD, SZ, FR, GB, GR, IE, IT, LU, MC, GA, GN, ML, MR, NE, SN, TD, 04058859 A1 20040325	## 19946 B2 20040113 ## 19981008 ## AL, AM, AT, AU, AZ, BA, BB, BG, DK, EE, ES, FI, GB, GE, GH, GM, KP, KR, KZ, LC, LK, LR, LS, LT, NO, NZ, PL, PT, RO, RU, SD, SE, UA, UG, US, UZ, VN, YU, ZW ## GH, GM, KE, LS, MW, SD, SZ, UG, FR, GB, GR, IE, IT, LU, MC, NL, GA, GN, ML, MR, NE, SN, TD, TG ## 19940325 ## 19941008	## 18946 B2 20040113 ## 19981008 W0 1 ## AL, AM, AT, AU, AZ, BA, BB, BG, BR, DK, EE, ES, FI, GB, GE, GH, GM, GW, KP, KR, KZ, LC, LK, LR, LS, LT, LU, NO, NZ, PL, PT, RO, RU, SD, SE, SG, UA, UG, US, UZ, VN, YU, ZW ## CH, GM, KE, LS, MW, SD, SZ, UG, ZW, FR, GB, GR, IE, IT, LU, MC, NL, PT, GA, GN, ML, MR, NE, SN, TD, TG ## 1994058859 A1 20040325 US 2 ## PPLN. INFO:: ## US 1 ## US 1 ## US 1	## 19946 B2 20040113 ## 19981008 W0 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998- ## 1998-	16946 B2 20040113 13677 A1 19981008 W0 1998-EP19 13677 A1 19981008 W0 1998-EP19 13677 A1 19981008 W0 1998-EP19 13678 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, UA, UG, US, UZ, VN, YU, ZW 1378 GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, GA, GN, ML, MR, NE, SN, TD, TG 14058859 A1 20040325 US 2003-6684 1579 LN. INFO.: 1580 US 2003-6684 1599 US 1998-4984 1599 W0 1998-EP19	16946 B2 20040113 13677 A1 19981008 W0 1998-EP1922 13677 A1 1998-EP1922 13787 A1 1998-EP1922 13877 A1 1998-EP1922 13877 A1 1998-EP1922	16946 B2 20040113 13677 A1 19981008 W0 1998-EP1922 13677 A1 1998-EP1922 13787 A1 1998-EP1922 13877 A1 1998-EP1922 13877 A1 1998-EP1922 13877 A1 1998-EP1922	16946 B2 20040113 13677 A1 19981008 W0 1998-EP1922 1 15 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, UA, UG, US, UZ, VN, YU, ZW 10 GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, GA, GN, ML, MR, NE, SN, TD, TG 10 C10 S1998-69847 A2 15 W0 1998-EP1922 A 15	18946 B2 20040113 13677 A1 19981008 W0 1998-EP1922 19980 13677 A2 19980	

AB The invention concerns a carbohydrate peptide conjugate comprising: a carrier comprising a <u>dendrimeric</u> poly-Lysine enabling multiple epitopes to be covalently attached thereto, at least one peptide comprising one T epitope or several identical or different T epitopes, at least one carbohydrate moiety, or a derivative thereof, containing B epitope, provided it is not a sialoside, or several identical or different epitopes. Perferably, the carbohydrate B epitope is Tn antigen or of bacterial or viral origin. The multiple antigen glycopeptide elicits antibody response and can be used in vaccines for cancer or infection. Antibodies to these multiple antigen glycopeptides can be used in diagnosis.

IT 262860-54-8

RL: PRP (Properties)

(unclaimed sequence; multiple antigen glycopeptide carbohydrate vaccine)

RN 262860-54-8 CAPLUS

CN Glycine, L-seryl-L-threonyl-L-threonylglycylglycylglycylglycylglycylglycyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

IT 262860-55-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(vaccines using multiple antigen glycopeptides comprising carbohydrates and a T-cell epitope)

RN 262860-55-9 CAPLUS

CN Glycine, O-[2-(acetylamino)-2-deoxy-α-D-galactopyranosyl]-L-seryl-O[2-(acetylamino)-2-deoxy-α-D-galactopyranosyl]-L-threonyl-O-[2(acetylamino)-2-deoxy-α-D-galactopyranosyl]-Lthreonylglycylglycylglycylglycylglycylglycyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:571004 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 139:122689

TITLE: Albumin fusion proteins for prolonged shelf-life of

therapeutic proteins

INVENTOR(S): Rosen, Craig A.; Haseltine, William A. PATENT ASSIGNEE(S): Human Genome Sciences, Inc., USA

SOURCE: PCT Int. Appl., 1086 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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             YU, ZA, ZW
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                                                US 2002-385708P
                                                                      Р
                                                                         20020605
                                                US 2002-394625P
                                                                      Ρ
                                                                         20020710
                                                US 2002-411426P
                                                                      ₽
                                                                         20020918
                                                JP 2003-560158
                                                                      A3 20021223
                                                WO 2002-US40892
                                                                     W 20021223
     The present invention encompasses albumin fusion proteins. Many
AB
     therapeutic proteins in their native state or when recombinantly produced
     are typically labile mols. exhibiting short shelf-lives, particularly when
     formulated in aqueous solns.; fusions of the therapeutic protein with human
     serum albumin have a longer serum half-life and/or stabilized activity in
     solution (or in a pharmaceutical composition) in vitro and/or in vivo than the
     corresponding unfused therapeutic mols. Thus, albumin fusion proteins are
     provided comprising interferon \beta, interferon \alpha 2, insulin, bone
     morphogenetic protein 9, glucagon-like peptide-I(7-36), a hybrid
     interferon A/D, and exendin 4. Nucleic acid mols. encoding the albumin
     fusion proteins of the invention are also encompassed by the invention, as
     are vectors containing these nucleic acids, host cells transformed with these
     nucleic acids vectors, and methods of making the albumin fusion proteins
     of the invention and using these nucleic acids, vectors, and/or host cells. Addnl. the present invention encompasses pharmaceutical compns.
     comprising albumin fusion proteins and methods of treating or preventing
     diseases, disorders or conditions related to diabetes mellitus using
     albumin fusion proteins of the invention.
IT
     561304-87-8
     RL: PRP (Properties)
         (unclaimed sequence; albumin fusion proteins for prolonged shelf-life
        of therapeutic proteins)
```

L-Arginine, glycyl

561304-87-8 CAPLUS

RN

prolylglycyl-L-lysyl- (9CI) (CA INDEX NAME)

^{***} STRUCTURE DIAGRAM IS NOT AVAILABLE ***

10/019,902

2003:512066 CAPLUS <<LOGINID::20060830>> ACCESSION NUMBER:

DOCUMENT NUMBER: 139:65765

Alteration of protein stability TITLE:

INVENTOR(S): Middaugh, Charles Russell

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 12 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PRIO	US 2003125234	A1	20030703	US 2001-14758 US 2001-14758	20011211
AB	provided. The meth-	od is c	apable of ef	effects on protein sta ficiently screening hig	bility is h nos. of
	present invention i	dentifi	ed compds. a	of different proteins. nd classes of compound in the present inventi	which alter the
	mols. having more t	han one	charge. Th	ese mols. bind to unpai eby altering the stabil	red charge
	protein. The effec	t of th	e compds. on	the protein is determi ibutable to the presenc	ned by the
IT	of the compound 3887-13-6, Hexaglyc	ine <u>528</u>	838-48-4 528	838-52-0	
	S51951-82-7 RL: ARU (Analytical	role,	unclassified); ANST (Analytical stu	dy)

(alteration of protein stability) RN 3887-13-6 CAPLUS

Glycine, glycylglycylglycylglycyl- (9CI) (CA INDEX NAME) CN

PAGE 1-B

— ин2

RN 528838-48-4 CAPLUS

 $L-Glutamic\ acid,\ N-methyl-L-\alpha-glutamylglycyl$ CN (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

528838-52-0 CAPLUS RN

L-Glutamic acid, L-lysylglycylglycylglycylglycylglycylglycyl- (9CI) (CA INDEX CN

Absolute stereochemistry.

PAGE 1-B

551951-82-7 CAPLUS RN

L-Lysinamide, L-lysylglycylglycylglycylglycylglycyl- (9CI) (CA INDEX

Absolute stereochemistry.

PAGE 1-B

L21 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:396268 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER:

138:400394

TITLE:

WT1 polynucleotides, polypeptides and fusion proteins, and antibodies for immunodiagnosis and immunotherapy

of cancer, leukemia and metastasis

INVENTOR(S):

Gaiger, Alexander; McNeill, Patricia D.; Smithgall, Molly; Moulton, Gus; Vedvick, Thomas S.; Sleath, Paul R.; Mossman, Sally P.; Evans, Lawrence S.; Spies, A.

Gregory; Boydston, Jeremy Corixa Corporation, USA

PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 203 pp., Cont.-in-part of U.S. Ser. No. 938,864.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

11

PATENT INFORMATION:

	PATENT NO.					DATE			APP	LICAT	ION	NO.		I	20010824 20020416 20020712 20020916 20021030 20021030 CCH, CN, GE, GH, LK, LR,					
	US 2003 US 7063	854		A1 B1	;	2003	0620		US .	2001-: 1998-:	1642			:	19980	930				
	US 2003 ZA 2001			A1 A										20010213						
	US 2003			Al		2003			US.	2001-	9388	64		20010824						
	US 2003			A1		2003				2002-			20020416							
		US 2003198622 A1 2003102 US 2003235557 A1 2003122								2002-: 2002-:										
	CA 2465	2003.				2002-2	-													
	WO 2003		AA A2		2003				2002-											
	WO 2003			А3		2004														
	W:					-										•				
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	RW:																			
			I, CM,											2.,	20,	0.,				
	US 2003			Al		2003.				2002-:										
	EP 1468		2 011	A2		2004		a n		2002-										
	R:		I, LT,												MC,	PT,				
	JP 2005		L, LL,	T2		2005		01,		, IK, 2003-!			,		20021	030				
	CN 1671	733		Α		2005	0921		CN :	2002-	8264	92		2	20021	030				
	US 2004			A1			0129			2003-					20030					
	US 2004 AU 2003			A1 A1		2004 2003.				2003-0 2003-:					20030 20031					
	US 2006			A1		2005				2005-1 2006-1					20051					
PRIO	RITY APP		FO.:							1998-					9980					
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										2000-					20001					
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										1999-					19990					
										2001-2					20011					
										2002-: 2002 - :		A2 20020416 A2 20020712								
									2002-1 2002-1		A 20020712 A 20020916									
										2002-2					20021					
				_				_		2002-					20021	030				
AB	Compns.	and m	ethods	for	the	the	rapy	of	mal	ignan	t di	seas	es,	suc	n as					

- leukemia and cancer, are disclosed. The compns. comprise one or more of a WT1 polynucleotide, a WT1 polypeptide, an antigen-presenting cell presenting a WTl polypeptide, an antibody that specifically binds to a WTl polypeptide; or a T cell that specifically reacts with a WTl polypeptide. Such compns. may be used, for example, for the prevention and treatment of metastatic diseases.
- 263270-93-5 263329-58-4 263329-98-2 RL: BSU (Biological study, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (WT1 polynucleotides, polypeptides and fusion proteins, and antibodies for immunodiagnosis and immunotherapy of cancer, leukemia and metastasis)
- RN 263270-93-5 CAPLUS
- $\hbox{$L$-Leucine, L-leucylglycylglycylglycylglycylglycyl-L-cysteinyl-L-alanyl-L-alanyl-L-cysteinyl-L-alanyl-L-cysteinyl-L-alanyl-L-cysteinyl-L-alanyl-L-cysteinyl-$$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 263329-58-4 CAPLUS

CN L-Alanine, L-seryl-L-leucylglycylglycylglycylglycylglycyl-L-cysteinyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 263329-98-2 CAPLUS

CN L-Leucine, L-leucylglycylglycylglycylglycylglycyl-L-cysteinylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L21 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER: 138:319680

TITLE: WT1 proteins, polynucleotides and antibodies for

cancer diagnosis and therapy Gaiger, Alexander; McNeill, Patricia D.; Smithgall, INVENTOR(S):

Molly; Moulton, Gus; Vedvick, Thomas S.; Sleath, Paul R.; Mossman, Sally; Evans, Lawrence; Spies, A. Gregory; Boydston, Jeremy

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 197 pp., Cont.-in-part of U.S. Ser. No. 785019.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAS	TENT	NO.			KIN		DATE			APP	LICAT	ION I	.00		DATE				
	US US ZA CA WO	2003 7063 2003 2001 2425 2002	854 0821 0026 072 0284	96 06		A1 20030417 B1. 20060620 A1 20030501 A 20020930 AA 20020411 A1 20020411					US US ZA CA	2001-1 1998-1 2001-1 2001-1 2001-1		20010824 19980930 20010215 20010329 20011003 20011003						
	WO	2002 W: RW:	AE, CO, GM, LS, PT, US,	AG, CR, HR, LT, RO, UZ,	CU, HU, LU, RU, VN,	CZ, ID, LV, SD, YU,	AT, DE, IL, MA, SE, ZA,	DK, IN, MD, SG, ZW	AZ, DM, IS, MG, SI,	DZ, JP, MK, SK,	EC KE MN SL	, EE, , KG, , MW, , TJ,	ES, KP, MX, TM,	FI, KR, MZ, TR,	GB, KZ, NO, TT,	GD, LC, NZ, TZ,	GE, LK, PH, UA,	GH, LR, PL, UG,		
		2001 1328	DE, BJ, 0966	DK, CF,	ES,	FI,	FR, CM,	GB,	GR, GN, 0415	IE, GQ,	IT GW AU		MC, MR, 9660	NL, NE,	PT,	SE, TD,	20010824 19980930 20010215 20010329 20011003 20011003 A, CH, CN D, GE, GH C, LK, LR Z, PH, PL Z, UA, UG E, CH, CY E, TR, BF D, TG 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 20011003 2001001 2002015 19980930 19990325 20001006 20010010215 19990930 20010824 20011003 20011030 20010010201 20020416 20020712 20020916			
PRIO	JP CN US US US US US US US US	R: 2004 1505 2003 2003 2003 2004 2004 2004 2003 2006 4	AT, IE, 5104: 526 0959 0396: 1986: 2355: 2154: 0182: 1263: 2575: 1210	SI, 25 71 35 22 57 58 04 62 11	LT,	DE,	DK, FI,		FR, MK, 0408 0616 0522 0227 1023 1225 1120 0129 0701 1120	GB, CY, CY, CY, CY, CY, CY, CY, CY, CY, CY	GRAL DONALL OUR SERVICE SERVIC	, IT,	LI, 53222 831911 2603 1256 1958 2448 2863 3404 16427 2575 3404 16427 9388 US31 1256 1958	LU, 888 14 335 335 330 117 800 111 131 123 344 131 131 131 131 131 131 13	7 7 7 7 7 8 8	SE, 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2	MC, 00111 0020 0020 0020 0020 0020 0020 00	PT, 003 003 030 416 712 916 030 430 430 430 430 430 930 930 930 930 930 930 930 9		
AB	Compos, and methods for immunothera											2002-2			-		0021			

AB Compns. and methods for immunotherapy of malignant diseases, such as

leukemia and cancer, are disclosed. The compns. comprise one or more of a WT1 polynucleotide, a WT1 polypeptide, an antigen-presenting cell presenting a WT1 polypeptide, an antibody that specifically binds to a WT1 polypeptide; or a T cell that specifically reacts with a WT1 polypeptide. Such compns. may be used, for example, for the prevention and treatment of metastatic diseases.

IT

263270-93-5 263329-58-4 263329-98-2 RL: BSU (Biological study, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (WT1 proteins, polynucleotides and antibodies for cancer diagnosis and therapy)

RN 263270-93-5 CAPLUS

 $\hbox{L-Leucine, L-leucylglycylglycylglycylglycylglycyl-L-cysteinyl-L-alany$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 263329-58-4 CAPLUS

CN L-Alanine, L-seryl-L-leucylglycylglycylglycylglycylglycyl-L-cysteinyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 263329-98-2 CAPLUS

CN L-Leucine, L-leucylglycylglycylglycylglycylglycyl-L-cysteinylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L21 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:218725 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER:

139:254780

TITLE: AUTHOR(S): Polyglycine II nanosheets: Supramolecular antivirals?

Tuzikov, Alexander B.; Chinarev, Alexander A.; Gambaryan, Alexandra S.; Oleinikov, Vladimir A.; Klinov, Dmitry V.; Matsko, Nadezhda B.; Kadykov, Vasily A.; Ermishov, Mikhail A.; Demin, Il'ya V.; Demin, Victor V.; Rye, Phil D.; Bovin, Nicolai V.

CORPORATE SOURCE:

Shemyakin-Ovchinnikov Institute of Bioorganic

Chemistry, Moscow, 117997/V-437, Russia

SOURCE:

ChemBioChem (2003), 4(2-3), 147-154 CODEN: CBCHFX; ISSN: 1439-4227

PUBLISHER: DOCUMENT TYPE: Wiley-VCH Verlag GmbH & Co. KGaA

LANGUAGE:

Journal Enalish

Tetraantennary peptides [glycinen-NHCH2]4C can form stable non-covalent structures by self-assembly through intermol. hydrogen bonding. The oligopeptide chains assemble as polyglycine II to yield submicron-sized, flat, one-mol.-thick sheets. Attachment of $\alpha\text{-N-acetylneuraminic}$ acid (Neu5Aca) to the terminal glycine residues gives rise to water-soluble assembled glycopeptides that are able to bind influenza virus multivalently and inhibit adhesion of the virus to cell 103-fold more effectively than a monomeric $\underline{\text{glycoside}}$ of Neu5Ac α . Another antiviral strategy based on virus-promoted assembly of the glycopeptides was also demonstrated. Consequently, the self-assembly principle offers new perspectives on the design of multivalent antivirals.

318286-25-8P 318286-59-8P 599205-58-0P 599205-59-1P 599205-60-4P 599205-61-5P RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(polyglycine II nanosheets of supramol. antivirals)

RN 318286-25-8 CAPLUS

Glycine, N-[(1,1-dimethylethoxy)carbonyl]qlycylqlycylqlycylqlycylqlycylqly cyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 1-D

— oBu-t

RN 318286-59-8 CAPLUS

CN Glycine, glycylglycylglycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

•4 HCl

PAGE 1-B

PAGE 1-C

RN 599205-58-0 CAPLUS

Glycine, N-[(1,1-dimethylethoxy)carbonyl]glycylg

PAGE 1-C

PAGE 1-D

RN 599205-59-1 CAPLUS

CN Glycine, glycylglycylglycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-C

PAGE 1-D

- cн2- NH2

RN 599205-60-4 CAPLUS

Glycine, N-[(1,1-dimethylethoxy)carbonyl]glycylg

PAGE 1-C

PAGE 1-D

RN 599205-61-5 CAPLUS

CN Glycine, glycylglycylglycylglycylglycylglycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-C

PAGE 1-D

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

36

ACCESSION NUMBER: 2002:895276 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 138:298042

TITLE: Inhibition of contact activation by a kininogen

peptide (HKH20) derived from domain 5

AUTHOR(S): Nakazawa, Yoshitaka; Joseph, Kusumam; Kaplan, Allen P.

CORPORATE SOURCE: Department of Medicine, Division of Pulmonary and Critical Care Medicine and Allergy and Clinical Immunology, Konishi-MUSC Institute for Inflammation

Research, The Medical University of South Carolina, Charleston, SC, 29425, USA

SOURCE: International Immunopharmacology (2002), 2(13-14), 1875-1885

CODEN: IINMBA; ISSN: 1567-5769

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

Contact activation can be initiated by interaction of Factor XII, prekallikrein (PK) and high mol. weight kininogen (HK) with inorg. neg. charged biol. macromols., or upon cell surfaces, or interaction with membrane protein derivs. such as $aggregated \beta$ amyloid. The latter two examples are zinc-dependent. The interaction with cells is dependent on peptides derived from HK domains 3 and 5 termed LDC27 and HKH20, resp. We have tested the ability of each of these peptides to inhibit HK-dependent contact activation. HKH20 inhibited activation of prekallikrein when a mixture containing HK, prekallikrein and Factor XII was incubated with dextran sulfate, gClqR, amyloid β or endothelial cells. Comparable quantities of LDC27 had no effect. The binding of biotinylated HK or biotinylated Factor XII was inhibited in a dose response fashion by increasing concns. of HKH20 while LDC27, again had no effect. The N-terminal region of HKH20 (amino acids 475-485) is of particular importance for binding and histidine 485 prominently enhances the reaction as assessed employing overlapping and deleted peptides. Since there is a role for HK heavy chain in binding to endothelial cells and LDC27 can be employed as an affinity ligand to isolate the binding

proteins, we increased the LDC27 concentration from 10-fold to 250-fold to determine whether it is functional. Inhibition of endothelial cell-dependent prekallikrein activation required 100-fold greater concentration of LDC27 when compared to HKH20 to achieve significant inhibition. We conclude that the interactions of the light chain of HK via HKH20 is of particular importance for activation of the bradykinin forming cascade in zinc-dependent or independent reactions and is true for all "surface" initiators tested thus far.

501010-68-0

ΙT

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(effects of HK domain 5-derived peptides on macromol. initiators- and zinc-dependent contact activation of kinin-kallikrein system in HUVEC)

RN 501010-68-0 CAPLUS

CN L-Histidine, N6-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-lH-thieno[3,4-d]imidazol4-yl]-1-oxopentyl]-L-lysylglycyl-L-lysyl-Llysyl-L-asparaginylglycyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$\begin{array}{c|c} & H & H \\ \hline \\ HN & S & S \\ \hline \\ H & \\ \end{array}$$

PAGE 1-B

PAGE 1-C

PAGE 1-D

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 34 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 21 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

2002:734965 CAPLUS <<LOGINID::20060830>> ACCESSION NUMBER:

DOCUMENT NUMBER: 138:406735

TITLE: Stabilization of proteins by low molecular weight

multi-ions

AUTHOR(S): MacLean, Donald S.; Qian, Quansheng; Middaugh, C.

Russell

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of

Kansas, Lawrence, KS, 66047, USA

SOURCE: Journal of Pharmaceutical Sciences (2002), 91(10),

2220-2229

CODEN: JPMSAE; ISSN: 0022-3549

Wiley-Liss, Inc. PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

A method is described to identify small mol. ligands that stabilize proteins. The procedure is based on the hypothesis that mols. of various sizes containing two to four charges should occasionally bind to unpaired charged sites on the surface of proteins and by crosslinking such residues stabilize the native state of the liganded protein. A simple turbidity assay is employed that detects inhibition of protein aggregation under selected sets of conditions. Eight test proteins were screened and in all cases specific ligands were identified that inhibited protein aggregation at millimolar to micromolar concns. Only small effects of these stabilizers on protein biol. activities were found. In some, but not all cases, CD and fluorescence studies provided direct evidence of the binding of stabilizing ligands to the proteins suggesting multiple mechanisms of stabilization. This approach should be applicable to the development of excipients for the stabilization of pharmaceutical proteins and industrial enzymes as well as serve as starting points for second-generation inhibitors of increased affinity and specificity. TΤ

3887-13-6, Hexaglycine 528838-43-9 528838-48-4

528838-52-0

RL: MOA (Modifier or additive use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(stabilization of proteins by low mol. weight multi-ions)

RN 3887-13-6 CAPLUS

CN Glycine, glycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-B

- NH2

 $\begin{array}{lll} \text{CN} & \text{L-Lysinamide, L-lysyl-L-lysyl-L-lysyl-L-lysylglycylg$

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$H_{2N}$$
 H_{2N}
 H_{2

RN 528838-48-4 CAPLUS

CN L-Glutamic acid, N-methyl-L- α -glutamylglycylglycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 528838-52-0 CAPLUS

CN L-Glutamic acid, L-lysylglycyl

Absolute stereochemistry.

PAGE 1-B

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 22 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:694638 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 137:366262

TITLE: Inhibition of adhesion of type 1 fimbriated Escherichia coli to highly mannosylated ligands

AUTHOR(S): Nagahori, Noriko; Lee, Reiko T.; Nishimura,

Shin-Ichiro; Page, Daniel; Roy, Rene; Lee, Yuan C.
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry & Glycoclusters,
Division of Biological Sciences, Graduate School of

Science, Hokkaido University, Sapporo, 060-0810, Japan

SOURCE: ChemBioChem (2002), 3(9), 836-844 CODEN: CBCHFX; ISSN: 1439-4227

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

The inhibitory potencies of a number of mannosides, di- and trivalent mannosides, a set of mannose-terminating dendrimers, and five types of mannose-bearing neoglycoproteins were determined by using a binding assay that measures the binding of 125I-labeled, highly mannosylated neoglycoprotein to a type 1 fimbriated Escherichia coli (K12) strain in suspension. The IC50 values (the concentration of inhibitor that causes 50% reduction in the bound 125I-ligand to E. coli) obtained by this method were much lower than the equivalent values obtained by hemagglutination or in assays that involve microplate immobilization. Two important factors that strongly influence the affinity to E. coli adhesin are: 1)the presence of an lpha-oriented aglycon that has a long aliphatic chain or an aromatic group immediately next to the glycosyl oxygen, and 2) the presence of multiple mannosyl residues that can span a distance of 20 nm or longer on a relatively inflexible structure. The two best inhibitors, which are a highly mannosylated neoglycoprotein with the longest linking arm between a mannose and protein amino group and the largest mannosylated dendrimer (fourth generation), exhibited sub-nM IC50 values.

IT 475491-50-0

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(inhibition of adhesion of type 1 fimbriated Escherichia coli to highly mannosylated ligands)

RN 475491-50-0 CAPLUS

CN Glycinamide, N-acetyl-L-tyrosyl-L-aspartoylbis[glycylglycylglycyl-N-[6- $(\alpha-D-mannopyranosyloxy)hexyl]-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-C

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 23 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:692312 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 138:397978

TITLE: Screening and design of hybrid peptide that binds with

glucose oxidase
Yokoyama, Kenji; Sakai, Toshifumi; Ishikawa, Hideo; AUTHOR(S):

Morita, Yasutaka; Tamiya, Eiichi

CORPORATE SOURCE: School of Materials Science, Japan Advanced Institute

of Science and Technology, Tatsunokuchi, Ishikawa,

923-1292, Japan
Peptides: The Wave of the Future, Proceedings of the SOURCE:

Second International and the Seventeenth American

10/019,902

Peptide Symposium, San Diego, CA, United States, June 9-14, 2001 (2001), 202-203. Editor(s): Lebl, Michal; Houghten, Richard A. American Peptide Society: San Diego, Calif. CODEN: 69DBAL; ISBN: 0-9715560-0-8

DOCUMENT TYPE: Conference LANGUAGE: English

AΒ A phage display random peptide library was used to screen the peptides that bind with the specific site of glucose oxidase. The affinity with GOx was increased by designing a hybrid peptide with two different binding sites (52-58 and 197-203).

ΙT 528867-71-2

RL: BSU (Biological study, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); BIOL (Biological study); PROC (Process)

(hybrid peptides can bind to two binding-site glucose oxidasel

RN 528867-71-2 CAPLUS

CN L-Arginine, L-cysteinyl-L-histidyl-L-prolyl-L-glutaminyl-L-prolyl-L-leucyl-L-lysyl-L-seryl-L-arginyl-L-asparaginyl-L-prolyl-Lleucylglycylglycylglycylglycylglycylglycylglycyl-L-histidyl-L-prolyl-L-prolyl-L- $\texttt{methionyl-L-}\alpha - \texttt{aspartyl-L-} \\ \texttt{phenylalanyl-L-} \\ \texttt{histidyl-L-} \\ \texttt{lysyl-L-} \\ \texttt{alanyl-L-} \\ \texttt{alan$ methionyl-L-threonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 1-D

PAGE 2-A

NHO Me
$$(CH_2)_3$$
 NH NH_2

REFERENCE COUNT: 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 24 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER: 136:308523

TITLE: Compositions and methods for WT1 specific

immunotherapy

INVENTOR(S): Gaiger, Alexander; McNeill, Patricia D.; Smithgall,

Molly; Moulton, Gus; Vedvick, Thomas S.; Sleath, Paul

R.; Mossman, Sally; Evans, Lawrence; Spies, A.

Gregory; Boydston, Jeremy

Corixa Corporation, USA PCT Int. Appl., 260 pp. PATENT ASSIGNEE(S): SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 11

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2002028414
                             A1
                                    20020411
                                                 WO 2001-US31139
                                                                           20011003
     WO 2002028414
                            B1
                                    20020718
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              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
              US, UZ, VN, YU, ZA, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                 US 2001-785019
     US 2003082196
                                    20030501
                            A1
                                                                           20010215
     US 2003072767
                                    20030417
                                                 US 2001-938864
                            A1
                                                                           20010824
     CA 2425072
                             AA
                                    20020411
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     AU 2001096608
                                                 AU 2001-96608
                            Α5
                                    20020415
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     EP 1328287
                                    20030723
                                                 EP 2001-977493
                            Α1
                                                                           20011003
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                           DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT,
                            LV, FI, RO, MK, CY, AL, TR
     JP 2004510425
                            Т2
                                    20040408
                                                 JP 2002-532238
                                                                           20011003
     AU 2003257511
                                                 AU 2003-257511
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                                    20031120
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PRIORITY APPLN. INFO.:
                                                 US 2000-684361
                                                                           20001006
                                                 US 2000-685830
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                                                 US 2001-785019
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                                                 US 1998-164223
                                                                        A2
                                                                           19980930
                                                 US 1999-276484
                                                                        A2 19990325
                                                                        A3 19990930
                                                 AU 1999-64078
                                                 WO 2001-US31139
                                                                        W 20011003
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AB Compns. and methods for the therapy of malignant diseases, such as leukemia and cancer, are disclosed. The compns. comprise one or more of a WT1 polynucleotide, a WT1 polypeptide, an antigen-presenting cell presenting a WT1 polypeptide, an antibody that specifically binds to a WT1 polypeptide; or a T cell that specifically reacts with a WT1 polypeptide. Such compns. may be used, for example, for the prevention and treatment of metastatic diseases.

IT <u>263270-93-5</u> <u>263329-58-4</u> <u>263329-98-2</u>

RL: BSU (Biological study, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (WT1 polypeptides, polynucleotides and antibodies for diagnosis and treatment of leukemias and cancers)

RN 263270-93-5 CAPLUS

CN L-Leucine, L-leucylglycylglycylglycylglycylglycyl-L-cysteinyl-L-alanyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 263329-58-4 CAPLUS

CN L-Alanine, L-seryl-L-leucylglycylglycylglycylglycylglycyl-L-cysteinyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 263329-98-2 CAPLUS

CN L-Leucine, L-leucylglycylglycylglycylglycylglycyl-L-cysteinylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 25 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:253022 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 136:273566

TITLE: Gene therapy with single-chain insulin analogs in

treating diabetes

INVENTOR(S): Lee, Hyun Chul; Kim, Su-Jin; Kim, Kyung-Sup; Shin,

Hang-Cheol; Yoon, Ji-Won
PATENT ASSIGNEE(S): Yonsei University, S. Korea
SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 1193272 A1 20020403 EP 2001-121651 20010913 EP 1193272 B1 20040630 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO KR 2002026756 20020412 KR 2000-58003 Α 20001002 US 6630348 В1 20031007 US 2000-706690 20001107 AT 270306 Е 20040715 AT 2001-121651 20010913 JP 2002320490 A2 20021105 JP 2001-306269 20011002 PRIORITY APPLN. INFO.: KR 2000-58003 20001002 US 2000-706690 A 20001107

The subject matter of the invention is directed to a single-chain insulin analog, B-chain-X-A-chain (where X is a joining peptide of from 5-18 amino acids and wherein B and A chains are human insulin chains or functional analogs), that is used to treat diabetes by gene therapy methods. The single-chain insulin analogs have greater insulin receptor binding activity and/or glucose uptake activity than proinsulin, and less insulin receptor binding activity and glucose uptake activity than insulin. Also claimed are polynucleotides encoding the single-chain insulin analog and recombinant vectors (either plasmid or virus) comprising the polynucleotides. The vectors comprise preferably an inducible promoter that is regulated by glucose. The promoter is preferably a pyruvate kinase gene promoter.

IT 404934-97-0, Gly-Gly-Gly-Gly-Lys-Arg

RL: BSU (Biological study, unclassified); BIOL (Biological study) (linker between the A and B insulin chains; single-chain insulin analogs)

RN 404934-97-0 CAPLUS

L-Arginine, glycylglycylglycylglycylglycyl-L-lysyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

$$H_2N$$
 $(CH_2)_4$
 S
 $(CH_2)_3$
 H
 NH_2
 H
 NH
 NH
 NH
 NH

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 26 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

2001:666200 CAPLUS <<LOGINID::20060830>> ACCESSION NUMBER:

DOCUMENT NUMBER: 135:341321

TITLE: Induction of microcin B17 formation in Escherichia

coli ZK650 by limitation of oxygen and glucose

is independent of <u>glucose</u> consumption rate Gao, Q.; Fang, A.; Demain, A. L. AUTHOR(S):

Fermentation Microbiology Laboratory, Department of CORPORATE SOURCE:

Biology, Massachusetts Institute of Technology,

Cambridge, MA, 02139, USA

SOURCE: Journal of Industrial Microbiology & Biotechnology

(2001), 26(6), 341-344 CODEN: JIMBFL; ISSN: 1367-5435

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE:

Journal LANGUAGE: English

We examined the consumption of $\underline{glucose}$ from the media in which Escherichia coli ZK650 was grown. This organism, which produces the polypeptide antibiotic microcin B17 best under conditions of limiting supplies of glucose and air, was grown with a low level of glucose (0.5 mg/mL) as well as a high level (5.0 mg/mL) under both high and low aeration. Glucose consumption rates were virtually identical under both high and low aeration. Thus, glucose consumption rate is not a regulating factor in microcin B17 formation. TT

84286-90-8P, Microcin B17 RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(induction of microcin B17 formation in Escherichia coli ZK650 by limitation of oxygen and glucose is independent of

glucose consumption rate)

RN 84286-90-8 CAPLUS

Microcin B 17 (9CI) (CA INDEX NAME) CN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS 1.1 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 27 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:634908 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 135:343344

TITLE: Secondary metabolism in simulated microgravity

AUTHOR(S): Demain, Arnold L.; Fang, Aiqi

CORPORATE SOURCE: Biology Department, Massachusetts Institute of

Technology, Cambridge, MA, 02139, USA SOURCE: Chemical Record (2001), 1(4), 333-346

CODEN: CRHEAK; ISSN: 1527-8999 PUBLISHER:

John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

Microbial secondary metabolism was studied in a simulated microgravity (SMG) environment provided by NASA rotating-wall bioreactors (RWBs). These reactors were designed to simulate some aspects of actual microgravity that occur in space. Growth and product formation were observed in SMG in all cases studied, i.e., Bacillus brevis produced gramicidin S (GS), Streptomyces clavuligerus made eta-lactam antibiotics, Streptomyces hygroscopicus produced rapamycin, and Escherichia coli produced microcin B17 (MccB17). Of these processes, only GS production was unaffected by SMG; production of the other 3 products was inhibited. This was determined by comparison with performance in an RWB positioned in a different mode to provide a normal gravity (NG) environment. C source repression by glycerol of the GS process, as observed in shaken flasks, was not observed in the RWBs, whether operated in the SMG or NG mode. The same phenomenon occurred in the case of MccB17 production, with respect to glucose repression. Thus, the neg. effects of C source on GS and β -lactam formation are presumably dependent on shear, turbulence, and/or vessel geometry, but not on gravity. Stimulatory effects of phosphate and the precursor L-lysine on β -lactam antibiotic production, as observed in flasks, also occurred in SMG. An almost complete shift in the localization of produced MccB17 from cells to extracellular medium was observed when E. coli was grown in the RWB under SMG or NG. If a plastic bead was placed in the RWB, accumulation became cellular, as it is in shaken flasks, indicating that sheer stress favors a cellular location. In the case of rapamycin, the same type of shift was observed, but it was less dramatic, i.e., growth in the RWB under SMG shifted the distribution of produced rapamycin from $\ensuremath{\mathsf{RWB}}$ 2/3 cellular:1/3 extracellular to 1/3 cellular:2/3 extracellular. Stress has been shown to induce or promote secondary metabolism in a number of other microbial systems. RWBs provide a low stress SMG environment, which, however, supports only poor production of MccB17, as compared to production in shaken flasks. The poor production in RWBs under SMG possibly was due to the low level of stress, therefore increasing stress in the RWBs might raise the amount of MccB17 formed. Increasing shear stress by adding a single Teflon bead to the RWB improved MccB17 production Although shear stress seems to have a marked pos. effect on MccBl7 production in SMG, addition of various concns. of EtOH to RWBs (or to shaken flasks) failed to increase MccB17 production EtOH stress merely decreased production and, at higher concns., inhibited growth. Interestingly, cells growing in the RWB were much more resistant to the growth- and production-inhibitory effects of EtOH than cells growing in shaken flasks. With respect to S. hygroscopicus, addition of Teflon beads to the RWB reversed the inhibition of growth, but rapamycin production was still markedly inhibited, and the distribution did not revert back to a preferential cellular site.

84286-90-8P, Microcin B17

RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(secondary metabolism in simulated microgravity)

84286-90-8 CAPLUS RN

Microcin B 17 (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS 69 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 28 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:545747 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 135:133932 TITLE: An in vivo screen using chemical inducers of

dimerization

INVENTOR(S): Cornish, Virginia W.

PATENT ASSIGNEE(S): The Trustees of Columbia University in the City of New

York, USA

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE		i	APPL	ICAT:	ION I	NO.			20010124 CA, CH, CN CH, GM, HR R, LS, LT PT, RO, RU CZ, VN, YU CE, CH, CY CE, TR, BF CG 20010124 20010124			
WO	2001	0533	55		A1		2001	0726	1	WO 2	001-	JS22	20010124						
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		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,		
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
CA	2398	010			AA		2001	0726		CA 2	001-	2398	20010124						
AU	2001	0297	41		Α5		2001	0731		AU 2	001-	2974	1		2	0010	124		
EP	1254	179			A1		2002	1106		EP 2	001-	9426	44		2	0010.	124		
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR								
PRIORIT	ORITY APPLN. INFO.:								i	US 2	000-	4903	20	1	A 2	20010124 CA, CH, CN, GH, GM, HR, JR, LS, LT, FT, RO, RU, JZ, VN, YU, GE, CH, CY, GE, TR, BF,			
							1	WO 2	001-1	US22	85	7	N 2	0010	124				

AB The subject of the invention provides a compound having the formula: H1-X-B-Y-H2, wherein each of H1 and H2 may be the same or different and capable of binding to a receptor which is the same or different; wherein each of X and Y may be present or absent and if present, each may be the same or different spacer moiety; and wherein B is an enzyme cleavable moiety. Said compds. can be called chemical inducers of dimerization. This invention also provides a method of screening proteins for the ability to catalyze bond cleavage.

IT 3887-13-6

RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

('compds. comprising receptor-binding moiety, spacer and enzyme cleavable moiety for screening drugs and proteins capable of catalyze bond cleavage)

RN 3887-13-6 CAPLUS

CN Glycine, glycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-B

-- NH₂

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:31361 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 134:101139

TITLE: Preparation of self-associating compounds and their

aggregate bodies for use as medicaments

INVENTOR(S): Bovin, Nikolai Vladimirovich; Tusikov, Alexandr

Borisovich; Chinarev, Alexandr Alexandrovich; Dicusar, Mariya Alexandrovna; Gambariyan, Alexandra Sergeevna; Marinina, Valentina Petrovna

PATENT ASSIGNEE(S): Syntesome Gesellschaft fur Medizinische Biochemie

m.b.H., Germany PCT Int. Appl., 60 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	TENT	ю.			KIN	D	DATE		i				NO. DATE					
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE.	IT.	LU.	MC.	NL.	PT.	SE,	BF,	ВJ,	
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	ΕP	1223	984			A2		2002	0724		EP 2	000-	9492	35		2	0000	630	
		R:							FR.										
			IE.	SI.	LT.	LV.	FI.	RO.	MK,	CY.	AL	•		•			•		
	JΡ	2003						•				001-	5075	08		2	0000	630	
PRIOF	ORITY APPLN. INFO.:									DE 1999-19930177									
										1	WO 2	000-	EP61	39	1	w 2			
AB	Tit	le c	ompd	s.,	[e.g	., {	α-Ne	u5Ac	-OCH									•	

 $NHC(0)CH2NHC(0)(CH2)4C(0)(NHCH2C(0))0-7NHCH2\}4C$, in which the terminal portion of each arm may contain fragments capable of cellular receptor blocking, antibiotic, or therapeutic action, capable of forming selfaggregates, were prepared for use as drug-delivery or diagnostic agents. The tetrahedral core was synthesized from (H2NCH2)4C using BOC-peptide coupling chemical The terminal units were prepared from tetra-O-acetyl-5-acetylneuraminic acid Me ester derivs., 5-acetylneuraminic acid α -2 \rightarrow 3-B-D-GalP-(1 \rightarrow 4)- β -D-GluP-NHC(0)CH2NH2, or α -D-GalP-(1-3)- β -D-GalP-O-(CH2)3NH2 derivs. In a test of inhibition of viral cell adhesion, using influenza virus, { α -Neu5Ac-OCH2-4-C6H4-NHC(0)CH2NHC(0)(CH2)4C(0)(NH(CH2)5C(O))3(NHCH2C(O))5NHCH2)4C had relative activity (to Neu5Ac- α -CH2Ph) of 2500:1.

318286-53-2P 318286-65-6DP, self-aggregates RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of self-associating compds. and their aggregate bodies

for use as medicaments)

RN 318286-53-2 CAPLUS

Glycine, $N-[6-[2-[4-[(N-acetyl-\alpha-neuraminosyl)oxy]methyl]phenyl]a$ mino]-2-oxoethyl]amino]-1,6-dioxohexyl]glycylglycylglycyl-, 4,4',4'',4'''-tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-D

PAGE 3-B

$$\begin{array}{c|c} & & & \\ & & & \\ N & &$$

RN 318286-65-6 CAPLUS Glycinamide, 6,6'-(1,4-butanediyl)bis[N-[6-[[2-[[4-[[(N-acetyl- α -neuraminosyl)oxy]methyl]phenyl]amino]-2-oxoethyl]amino]-1,6-dioxohexyl]glycylglycylglycylglycylglycylglycylglycylf (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$-\stackrel{H}{\stackrel{N}{\longrightarrow}} \stackrel{N}{\stackrel{H}{\longrightarrow}} \stackrel{(CH_2)}{\stackrel{4}{\longrightarrow}} \stackrel{H}{\stackrel{N}{\longrightarrow}} \stackrel{N}{\stackrel{H}{\longrightarrow}} \stackrel{N}{\stackrel{N}{\longrightarrow}} \stackrel{N}{\stackrel{N}{\longrightarrow}} \stackrel{N}{\longrightarrow} \stackrel{$$

PAGE 1-C

PAGE 1-D

IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of self-associating compds. and their aggregate bodies for use as medicaments)

318286-16-7 CAPLUS RN

Glycine, N-[(1,1-dimethylethoxy)carbonyl]glycylglycylglycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine (9CI) (CA INDEX CN

PAGE 1-A

PAGE 1-B

PAGE 1-C

RN

318286-25-8 CAPLUS Glycine, N-[(1,1-dimethylethoxy)carbonyl)glycyl CN cyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 1-D

-- OBu-t

318286-27-0 CAPLUS RN

Glycine, N-(6-amino-1-oxohexyl)glycylglycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME)

● 4 HCl

PAGE 1-C

RN

318286-29-2 CAPLUS Glycine, N-(6-amino-1-oxohexyl)glycylglycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine (9CI) (CA INDEX NAME) CN

PAGE 1-B

PAGE 1-C

RN

318286-31-6 CAPLUS Glycine, N-[6-[(6-amino-1-oxohexyl)amino]-1-oxohexyl]glycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME) CN

● 4 HCl

$$-NH-CH_2-C-NH-CH_2-C-NH-CH_2$$

PAGE 1-C

RN

318286-33-8 CAPLUS Glycine, N-[6-[(6-amino-1-oxohexyl)amino]-1-oxohexyl]glycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine (9CI) (CA INDEX NAME) CN

PAGE 1-B

$$-NH-CH_2-C-NH-CH_2-C-NH-CH_2$$

PAGE 1-C

RN 318286-35-0 CAPLUS

CN Glycine, N-[6-[[6-[(6-amino-1-oxohexyl)amino]-1-oxohexyl]amino]-1-oxohexyl]glycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HC1

PAGE 1-B

$$- \text{NH-CH}_2 - \text{C-NH-CH}_2 - \text{C-NH-CH}_2 - \text{C-NH-CH}_2$$

PAGE 1-C

PAGE 1-D

CN Glycine, N-[6-[[6-[(6-amino-1-oxohexyl)amino]-1-oxohexyl]amino]-1-oxohexyl]glycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine (9CI) (CA INDEX NAME)

PAGE 1-B

$$-NH-CH_{2}-C-NH-CH_{2}-C-NH-CH_{2}-C-NH-CH_{2}$$

PAGE 1-C

PAGE 1-D

RN 318286-57-6 CAPLUS

CN Glycine, glycylglycylglycylglycyl-, tetraamide with 2,2-bis(aminomethyl)-

10/019,902

1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

● 4 HCl

PAGE 1-C

- ин2

RN 318286-59-8 CAPLUS

Glycine, glycylglycylglycylglycylglycylglycylglycyl, tetraamide with 2,2-bis(aminomethyl)-1,3-propanediamine, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

ΙT

318286-63-4P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of self-associating compds. and their aggregate bodies for use as medicaments)

ŔN

318286-63-4 CAPLUS Glycinamide, 6,6'-(1,4-butanediyl)bis(glycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-,dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

●2 HC1

PAGE 1-C

L21 ANSWER 30 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN 2000:559285 CAPLUS <<LOGINID::20060830>>

ACCESSION NUMBER:

133:309017

DOCUMENT NUMBER: TITLE:

Relief from glucose interference in microcin B17 biosynthesis by growth in a rotating-wall

10/019,902 bioreactor AUTHOR(S): Fang, A.; Pierson, D. L.; Mishra, S. K.; Demain, A. L. Fermentation Microbiology Laboratory, Department of CORPORATE SOURCE: Biology, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA SOURCE: Letters in Applied Microbiology (2000), 31(1), 39-41 CODEN: LAMIE7; ISSN: 0266-8254 PUBLISHER: Blackwell Science Ltd. DOCUMENT TYPE: Journal LANGUAGE: English Glucose interference in production of microcin B17 by Escherichia coli ZK650 was decreased sevenfold by growth in a ground-based rotating-wall bioreactor operated in the simulated microgravity mode as

AB Glucose interference in production of microcin B17 by Escherichia coli ZK650 was decreased sevenfold by growth in a ground-based rotating-wall bioreactor operated in the simulated microgravity mode as compared with growth in flasks. When cells were grown in the bioreactor in the normal gravity mode, relief from glucose interference was even more dramatic, amounting to a decrease in glucose interference of over 100-fold.

IT 84286-90-8P, Microcin B17

RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(relief from glucose interference in microcin B17 biosynthesis by growth in rotating-wall bioreactor)

RN 84286-90-8 CAPLUS

CN Microcin B 17 (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 31 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:307128 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 132:322148

TITLE: Preparation of thrombin inhibitors based on the amino

acid sequence of hirudin

INVENTOR(S): Dimaio, John; Konishi, Yasuo; Ni, Feng; Steinmetzer,

Torsten

PATENT ASSIGNEE(S): The National Research Council of Canada, Can.

SOURCE: U.S., 49 pp., Cont.-in-part of U.S. Ser. No. 302,245, abandoned.

CODEN: USXXAM Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

451 702	P P		20000509 19960926	US 1995-406142 CA 1996-2215702	19950320 19960318					
AL, AM, ES, FI, LU, LV,	AT, AU GB, GE	, AZ, , HU,	BB, BG, IS, JP,	BR, BY, CA, CH, CN, KE, KG, KP, KR, KZ,	CZ, DE, DK, EE, LK, LR, LS, LT,					
KE, LS, IE, IT, 349	LU, MC	, NL,	PT, SE, 19961008	BF, BJ, CF, CG, CI, AU 1996-49349	CM, GA, GN					
39 39	P E	1 :	19980107 20011107	EP 1996-905636						
IE, FI 436 839 2203 26 01 461 267 342	# P T P E T P P	2 1 3	19980520 19980616 19990223 19991231 20011115 20020616 19960927	CN 1996-193457 BR 1996-7839 JP 1996-527932 IL 1996-117526 AT 1996-905636 ES 1996-905636 ZA 1996-2267 NO 1997-4342 HK 1998-104773 US 1994-302245 US 1995-406142	19960318 19960318 19960318 19960318 19960318 19960320 19970919 19980603 B2 19940908 A 19950320					
	451 702 347 AL, AM, ES, FI, LU, LV, SG, SI KE, LS, 1349 20 39 39 AT, BE, IE, FI 436 839 2203 26 01 461 267 342 511	451 A 702 A A 702 A A AL, AM, AT, AU ES, FI, GB, GE LU, LV, MD, MG SG, SI KE, LS, MW, SD IE, IT, LU, MC 349 20 B 39 A 39 B AT, BE, CH, DE IE, FI 436 A 839 A 2203 T 26 A 01 E 461 T 267 342	451 A 702 AA 347 A1 AL, AM, AT, AU, AZ, ES, FI, GB, GE, HU, LU, LV, MD, MG, MK, SG, SI KE, LS, MW, SD, SZ, IE, IT, LU, MC, NL, 349 A1 20 B2 39 A1 39 B1 AT, BE, CH, DE, DK, IE, FI 436 A 839 A 2203 T2 26 A1 01 E 461 T3 267 A 342 A 511 A1	451 A 20000509 702 AA 19960926 347 A1 19960926 AL, AM, AT, AU, AZ, BB, BG, ES, FI, GB, GE, HU, IS, JP, LU, LV, MD, MG, MK, MN, MW, SG, SI KE, LS, MW, SD, SZ, UG, AT, IE, IT, LU, MC, NL, PT, SE, 349 A1 19961008 20 B2 19980827 39 A1 19980107 39 B1 20011107 AT, BE, CH, DE, DK, ES, FR, IE, FI 436 A 19980520 839 A 19980616 2203 T2 19990223 26 A1 19991231 01 E 20011115 461 T3 20020616 267 A 19960927 342 A 19971119 511	451 A 20000509 US 1995-406142 702 AA 19960926 CA 1996-2215702 347 A1 19960926 WO 1996-CA164 AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, SG, SI KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, 349 A1 19961008 AU 1996-49349 20 B2 19980827 39 A1 19980107 EP 1996-905636 39 B1 20011107 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, IE, FI 436 A 19980520 CN 1996-193457 839 A 19980616 BR 1996-7839 2203 T2 19990223 JP 1996-527932 26 A1 19991231 IL 1996-117526 01 E 20011115 AT 1996-905636 461 T3 20020616 ES 1996-905636 267 A 19960927 ZA 1996-2267 342 A 19971119 NO 1997-4342 511 A1 20020315 HK 1998-104773 LN. INFO.:					

OTHER SOURCE(S): MARPAT 132:322148

AB Thrombin inhibitors AS-Y-Z-A [AS is a hydrophobic moiety which binds the

catalytic site of thrombin and which comprises (a) one or two hydrophobic α -amino acids which are optionally substituted by alkyl, aryl, or aralkyl and (b) a guanidino group; Y = CO, CH2, CH2OH; Z is a divalent, straight-chained linker moiety that has a chain length of approx. 10-85 atoms; A is an acidic portion of formula -G-X'-G'-Q-Q1-Q2(W')-, where G and G' are each an L- α -amino acid having pk value \leq 5, X' is a hydrophobic $L-\alpha$ -amino acid, Q is and $L-\alpha$ -amino acid or a cyclic L-imino acid; Q1 and Q2 are different and are either Ile or Pro; W'is H, alkyl, aryl, or aralkyl, with the proviso that W' is linked to whichever of Q1 or Q2 is Pro] and its pharmaceutically acceptable salts were prepared for treatment of thrombotic disorders. Thus, Ac-D-Phe-Pro-Arg-Ψ[COCH2]CH2CO-Gln-Ser-His-Asn-Asp-Gly-Asp-Phe-Glu-Glu-Ile-Pro-Glu-Glu-Tyr-Leu-Gln-OH (P79) was prepared by the solid phase method and tested for thrombin inhibitory activity (IC50 = 2 nM in the platelet aggregation test).

<u>166990-21-2P</u>, p596 <u>183969-25-7P</u>, P536 ΙT

183969-29-1P, P617 244192-72-1P, P618 267011-52-9P, P574 267011-53-0P, P597 RL: BAC (Biological activity or effector, except adverse); BSU (Biological activity or effector, except adverse); BSU (Biological activity or effector) study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thrombin inhibitors based on the amino acid sequence of hirudin)

RN 166990-21-2

D-Glutamic acid, N-[[1-[(3S)-6-[(aminoiminomethyl)amino]-3-[(3-cyclohexyl-D-alanyl-L-prolyl)amino]-2-oxohexyl]pyridinium-4- $\verb|yl|| acetyl|| \verb|gl|| ycyl| \verb|gl|| ycyl| \verb|gl|| ycyl| - L - \alpha - aspartyl - L - tyrosyl - L - \alpha - aspartyl - Aspart$ $glutamyl-L-prolyl-L-isoleucyl-L-prolyl-L-\alpha-glutamyl-L-a-glutamyl-L-a$ glutamyl-L-alanyl-3-cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-A

PAGE 2-B

183969-25-7 CAPLUS RN

L-Aspartic acid, N-acetyl-N-[(3S)-6-[(aminoiminomethyl)amino]-3-[(3-cyclohexyl-D-alanyl-L-prolyl)amino]-2-oxohexyl]glycylglycylglycylglycylglycylglycyl-L- α -aspartyl-L-tyrosyl-L- α -glutamyl-L-prolyl-L-isoleucyl-L-prolyl-L- α -glutamyl-L-tyrosyl-3-cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 1-C

 $\alpha \hbox{-aspartyl-$L$--phenylalanyl-$L$-}\alpha \hbox{-glutamyl-L-}\alpha \hbox{-glutamyl-L-}$ $isoleucyl-L-prolyl-L-\alpha-glutamyl-L-\alpha-glutamyl-L-tyrosyl-L$ leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$\begin{array}{c} \text{Ph} \\ \text{R} \\ \text{O} \\ \text{NH2} \\ \text{NH2} \\ \text{NH2} \\ \text{NH2} \\ \text{NH2} \\ \text{NH2} \\ \text{NH3} \\ \text{NH2} \\ \text{NH3} \\ \text$$

PAGE 1-B

PAGE 1-C

RN

244192-72-1 CAPLUS L-Glutamine, N-[[[(3S)-3-[(N-acetyl-D-phenylalanyl-L-prolyl)amino]-6-[(aminoiminomethyl)amino]-2-oxohexyl]thio]acetyl]glycylglycylglycylglycylglycylglycylglycylglycylglycyl-L- α -aspartyl-L-phenylalanyl-L- α -glutamyl-L- α -glutamyl-L-CN

isoleucyl-L-prolyl-L- α -glutamyl-L- α -glutamyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

267011-52-9 CAPLUS

RN

CN L-Glutamine, D-phenylalanyl-L-prolyl-1-[(3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexyl]pyridinium-4-acetylglycylglycylglycylglycyl-L- α -aspartyl-L-phenylalanyl-L- α -glutamyl-L- α -glutamyl-L-isoleucyl-L-prolyl-L- α -glutamyl-L-

 α -glutamyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

RN 267011-53-0 CAPLUS
CN L-Glutamine, 3-cyclohexyl-D-alanyl-L-prolyl-1-[(3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexyl]pyridinium-4-acetylglycylglycylglycylglycylglycyl-L-α-aspartyl-L-phenylalanyl-L-α-glutamyl-L-α-glutamyl-L-isoleucyl-L-prolyl-L-α-glutamyl-L-α-glutamyl-L-tyrosyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

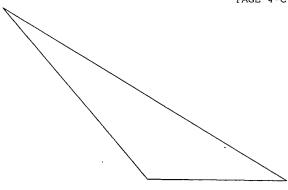
PAGE 1-B

$$H_2N$$
 H_1
 $(CH_2)_3$
 $(CH_2)_$

PAGE 1-D



PAGE 4-C



PAGE 4-E

30

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L21 ANSWER 32 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:291095 CAPLUS <<LOGINID::20060830>>
DOCUMENT NUMBER: 132:329919
TITLE: Modified peptides containing an antibody Fc domain as therapeutic agents
```

INVENTOR(S): Feige, Ulrich; Liu, Chuan-fa; Cheetham, Janet; Boone,

Thomas Charles
PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 608 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					KIND					APPLICATION NO.							DATE			
WO	200002	32		A2		2000	0504			1999-US25044				19991025						
WO	200002				A3		2002			-		55	D1/		~ 11	~ 11	an.	~ .		
							AZ,													
							ES,													
							KP,													
							MX,										SG,	SI		
							TT,													
	RW: G																			
							GR,								SE,	Br,	BJ,	CE		
			CI,	CM,		GN,	GW,										0001	^^^		
	666084				B1		2003						4280				9991			
	234713				AA		2000							131						
	114445				A2		2001			ΕP	19	199-	9710	03		1	9991	025		
EP	114445				_A3		2002													
			,				ES,	FR,	GB,	GR	₹,	IT,	LI,	LU,	NL,	SE,	MC,	PT		
			SI,	LT,	LV,	FI,								_						
	991470				A			0716					1470				9991			
	200351		11		Т2		2003						5783				9991			
	767725				B2		2003						1232				9991			
	510888				A		2004						5108				9991			
	528882				A		2005						5288				9991			
	172144				A		2006							2591			9991			
	174618				A		2006							3696			9991			
	174619				A		2006							3697			9991			
	178194				A			0607						1495			9991			
	178194				Α			0607						1496			9991			
	178194				A			0607						1497			9991			
	200100				A			0611					2753				0010			
	200100		03		A			0621					1963				0010			
	105461				Α			0430					1054				0010			
	200404				A1			0304					6092				0030			
	200405				A1		2004						6323				0030			
	200407				A1			0415					6457				0030			
	200512				A1			0609					6457				0030			
	200405				A1 A1			0325					6517				0030 0030			
	200408 200407				Al			0506 0422					6530 6666				0030			
	200407				Al			0318					2006				0030			
	200420				A1								2006				0040			
	200420				A1			0318					2006				0040			
	200420 APPLN				ΑI		2004	0318						71P			9981			
NKT I.	APPLN	• -	TWEO	• •									4280				9991			
													1232				9991			
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													8147	044			9991			
														86			0000			
													2006				0040			
	e prese							e												

AB The present invention concerns fusion of Fc domains with biol. active peptides and a process for preparing pharmaceutical agents using biol. active peptides. In this invention, pharmacol. active compds. are prepared by a process comprising: (a) selecting at least one peptide that modulates the activity of a protein of interest; and (b) preparing a pharmacol. agent comprising an Fc domain covalently linked to at least one amino acid of the selected peptide. Linkage to the vehicle increases the half-life of the peptide, which otherwise would be quickly degraded in vivo. The preferred vehicle is an Fc domain. The peptide is preferably selected by phage display, Escherichia coli coli display, ribosome display, RNA-peptide screening, or chemical-peptide screening.

IT <u>268204-26-8</u>

RL: PRP (Properties)

(173: PN: WO0024782 SEQID: 1133 unclaimed protein; modified peptides containing an antibody Fc domain as therapeutic agents)

RN 268204-26-8 CAPLUS

CN L-Alanine, L-lysylglycylglycylglycylglycylglycyl-L-isoleucyl-L-\a-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-tryptophyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 2-A

PAGE 3-A

RN

CN L-Phenylalanine, L-valyl-L- α -glutamyl-L-prolyl-L-asparaginyl-L-cysteinyl-L- α -aspartyl-L-isoleucyl-L-histidyl-L-valyl-L-methionyl-L-tryptophyl-L- α -glutamyl-L-tryptophyl-L- α -glutamyl-L-cysteinyl-L-phenylalanyl-L- α -glutamyl-L-arginyl-L-leucylglycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

268230-22-4 CAPLUS
L-Cysteine, L-phenylalanylglycylglycylglycylglycylglycyl-L-cysteinyl-L-threonyl-L-threonyl-L-histidyl-L-tryptophylglycyl-L-phenylalanyl-L-threonyl-L-leucyl- (9CI) (CA INDEX NAME) CN

268230-23-5 CAPLUS

L-Phenylalanine, L-cysteinyl-L-threonyl-L-threonyl-L-histidyl-L-tryptophylglycyl-L-phenylalanyl-L-threonyl-L-leucyl-L-cysteinylglycy CN

 ${\bf Absolute\ stereochemistry.}$

PAGE 1-B

$$\begin{array}{c|c} & & & \\ & & & \\ N & & \\ N$$

ΙT

268230-15-5D, fusion protein with IgG1 Fc domain RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (erythropoietin mimetic; modified peptides containing an antibody Fc domain as therapeutic agents)

RN 268230-15-5 CAPLUS CN L-Phenylalanine, glycylglycyl-L-threonyl-L-tyrosyl-L-seryl-L-cysteinyl-L-histidyl-L-phenylalanylglycyl-L-prolyl-L-leucyl-L-threonyl-L-tryptophyl-L-valyl-L-cysteinyl-L-lysyl-L-prolyl-L-glutaminylglycylg

PAGE 2-A

RN 268230-19-9 CAPLUS

CN L-Phenylalanine, L-phenylalanyl-L-α-glutamyl-L-tryptophyl-L-threonyl-L-prolylglycyl-L-tyrosyl-L-tryptophyl-L-glutaminyl-L-prolyl-L-tyrosyl-L-alanyl-L-leucyl-L-prolyl-L-leucylglycylgl

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (thrombopoietin mimetic peptide; modified peptides containing an antibody Fc domain as therapeutic agents)

RN 267234-57-1 CAPLUS

CN L-Alanine, L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-cysteinyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl-L-alanylglycylg

Absolute stereochemistry.

PAGE 1-A

$$1-Bu$$
 S
 H
 H
 S
 H
 S

10/019,902

PAGE 1-B

PAGE 1-C

PAGE 2-E

-Et

_co2H

267234-59-3 CAPLUS RN

L-Alanine, L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-alanyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl-L-alanylglycylg CN INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-\frac{H}{N}$$

PAGE 1-D

PAGE 2-A

PAGE 2-E

RN 268228-66-6 CAPLUS

CN L-Alanine, L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-tryptophyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl-L-alanylglycylglycylglycylglycylglycylglycylglycyl-L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-tryptophyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$H_2N$$
 H_1N
 H_2N
 H_1N
 H_1N
 H_2N
 H_1N
 H_1N

PAGE 1-B



PAGE 1-D

RN 268228-67-7 CAPLUS

CN L-Alanine, L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-tryptophyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl-L-alanylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-tryptophyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

$$H_{2N}$$
 H_{N}
 $H_{$

PAGE 1-D

PAGE 2-A

~NH2

IT 268230-14-4D, fusion protein with IgGl Fc domain
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thrombopoietin mimetic; modified peptides containing an antibody Fc domain as therapeutic agents)
RN 268230-14-4 CAPLUS

CN L-Phenylalanine, L-isoleucyl-L-α-glutamylglycyl-L-prolyl-L-threonyl-L-leucyl-L-arginyl-L-glutaminyl-L-tryptophyl-L-leucyl-L-alanyl-L-alanyl-L-arginyl-L-alanylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl

PAGE 1-A

PAGE 1-B

i-Bu

$$-\underset{H}{\overset{H}{\bigvee}} \underset{O}{\overset{H}{\bigvee}} \underset{N}{\overset{O}{\bigvee}} \underset{H}{\overset{H}{\bigvee}} \underset{O}{\overset{N}{\bigvee}} \underset{O}{\overset{H}{\bigvee}} \underset{O}{\overset{N}{\bigvee}} \underset{CO_2H}{\overset{H}{\bigvee}}$$

IT 268230-16-6D, fusion protein with IgG1 Fc domain
268230-17-7D, fusion protein with IgG1 Fc domain
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tumor necrosis factor inhibitor; modified peptides containing an antibody
Fc domain as therapeutic agents)
RN 268230-16-6 CAPLUS
CN L-Proline, L-phenylalanylglycylglycylglycylglycylglycyl-L-α-aspartylL-phenylalanyl-L-leucyl-L-prolyl-L-histidyl-L-tyrosyl-L-lysyl-Lasparaginyl-L-threonyl-L-seryl-L-leucylglycylglycyl-L-arginyl- (9CI)
(CA INDEX NAME)

PAGE 1-C

RN 268230-17-7 CAPLUS

L-Phenylalanine, L-α-aspartyl-L-phenylalanyl-L-leucyl-L-prolyl-L-histidyl-L-tyrosyl-L-leucyl-L-asparaginyl-L-threonyl-L-seryl-L-leucylglycyl-L-histidyl-L-arginyl-L-prolylglycylgly CN INDEX NAME)

PAGE 1-A

PAGE 1-B

L21 ANSWER 33 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:254039 CAPLUS <<LOGINID::20060830>>

132:289590 DOCUMENT NUMBER:

TITLE: Peptide-enhanced cationic lipid transfections INVENTOR(S):

Hawley-Nelson, Pamela; Lan, Jianqing; Shih, Pojen; Jessee, Joel A.; Schifferli, Kevin P.; Gebeyehu,

Gulilat PATENT ASSIGNEE(S):

Life Technologies, Inc., USA U.S., 103 pp., Cont.-in-part of U.S. 5,736,392. CODEN: USXXAM SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

TENT NO.	KIND	DATE	APPLICATION NO.	DATE		
		-				
6051429	Α	20000418	US 1997-818200	19970314		
5736392	А	19980407	US 1996-658130	19960604		
9840502	Al	19980917	WO 1998-US5232	19980316		
	6051429 5736392	6051429 A 5736392 A	6051429 A 20000418 5736392 A 19980407	6051429 A 20000418 US 1997-818200 5736392 A 19980407 US 1996-658130		

AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 9865622 A1 19980929 AU 1998-65622 EP 1007699 A1 20000614 EP 1998-911737 19980316 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE. FI JP 2001517939 Т2 20011009 JP 1998-539899 19980316 US 6376248 B1 20020423 US 1998-39780 19980316 US 2003144230 20030731 US 2002-200879 A1 20020723 PRIORITY APPLN. INFO.: US 1995-477354 B2 19950607 US 1996-658130 A2 19960604 US 1997-818200 A 19970314 US 1998-39780 A1 19980316 WO 1998-US5232 W 19980316 US 2001-911569 A1 20010723

AB The present invention provides compns. useful for transfecting eukaryotic cells comprising nucleic acid complexes with peptides, wherein the peptide is optionally covalently coupled to a nucleic acid-binding group, and cationic lipids or dendrimers as transfection agents. The invention also provides transfection compns. in which a peptide is covalently linked to the transfection agent (lipid, cationic lipid or dendrimer). Inclusion of peptides or modified-peptides in transfection compns. or covalent attachment of peptides to transfection agents results in enhanced transfection efficiency. Methods for the preparation of transfection compns. and methods of using these transfection compns. as intracellular delivery agents and extracellular targeting agents are also disclosed.

IT 213131-72-7 213131-74-9

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(increasing efficiency of transformation with; increasing efficiency of uptake of transforming DNA complexes with polycations using peptides)

RN 213131-72-7 CAPLUS

CN Glycine, N2,N5-bis(3-aminopropyl)ornithylglycyl-L-tyrosylglycyl-L-prolyl-Llysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycylglycylglycylglycylgly
cyl-L-arginylglycyl-L-α-aspartyl-L-methionyl-L-phenylalanylglycyl(9CI) (CA INDEX NAME)

PAGE 2-B

RN 213131-74-9 CAPLUS

NN Glycine, N2,N5-bis(3-aminopropyl)ornithylglycylglycylglycylglycylglycyl-L-tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycyl- (9CI) (CA INDEX NAME)

HO O
$$\frac{H}{H}$$
 $\frac{H}{N}$ $\frac{H}{N}$

PAGE 2-A

IT

54648-27-0 RL: PRP (Properties)

(unclaimed sequence; peptide-enhanced cationic lipid transfections)

RN 54648-27-0 CAPLUS

Glycine, glycylgly CN

10/019,902

PAGE 1-D

REFERENCE COUNT:

46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 34 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:233430 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 130:352534

TITLE: Fourier transform ion cyclotrón resonance study of

multiply charged aggregates of small singly

charged peptides $\overline{\text{formed by}}$ electrospray ionization

AUTHOR(S): Lee, Sang-Won; Beauchamp, J. L.

CORPORATE SOURCE: Beckman Institute, California Institute of Technology,

Pasadena, CA, 91125, USA

Journal of the American Society for Mass Spectrometry SOURCE:

(1999), 10(4), 347-351 CODEN: JAMSEF; ISSN: 1044-0305

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

Aggregates of singly protonated peptides formed with a nanoelectrospray ion source have been observed in the gas phase using Fourier transform ion cyclotron resonance (FT-ICR). Employment of "soft" ion sampling conditions in the source, which were developed previously to generate water clusters of biomols., provides significant yields of aggregates of singly protonated GGDPG ([2GGDPG + 2H]2+), GGEPG ([2GGEPG + 2H]2+), and VEPIPY ([2VEPIPY + 2H]2+). With peptide mixts., heteroaggregates, e.g., [GGDPG + GGEPG + 2H]2+ have also been observed along with the homoaggregates. These weakly bound noncovalent complexes undergo facile exothermic dissociation into the corresponding singly protonated monomer species with normal operation of the electrospray ion source. For example, the aggregates were not observed in FT-ICR expts. utilizing a conventional electrospray ionization (ESI) or fast atom bombardment source or with a quadrupolar ion trap mass spectrometer equipped with a conventional ESI source. The formation and metastability of these aggregates are dependent on highly specific intermol. hydrogen bonding between the monomers. The amino acid sequence (DPG) of GGDPG mimics the well-known $\boldsymbol{\beta}$ reverse turn of proteins and semiempirical calcns. show that it provides excellent hydrogen bonding sites for a protonated N-terminus amino group. Support for this conjecture is provided by the failure to observe aggregate formation of singly protonated peptides with several larger peptides, including hexaglycine and hexaalanine.

IΤ 3887-13-6, Hexaglycine

RL: PRP (Properties)

(Fourier transform ion cyclotron resonance study of multiply charged aggregates of small singly charged peptides formed by

electrospray ionization)

RN 3887-13-6 CAPLUS

Glycine, glycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

— ин2

10/019,902

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 35 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:665874 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 130:4084

TITLE: Preparation of polysaccharide-peptide or

amino acid-linked camptothecin conjugates as antitumor

agents

INVENTOR(S): Tsujihara, Kenji; Kawaguchi, Takayuki; Okuno, Akira;

Yano, Toshiaki

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10273488	A2	19981013	JP 1998-16763	19980129
JP 3322203	B2	20020909		
ORITY APPLN. INFO.:			JP 1997-17280 A	19970131

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

MARPAT 130:4084

The title compds., which are camptothecin derives. [I; R1 =(un) substituted lower alkyl; X1 = NHR2, OH; wherein R2 = H, lower alkyl; Alk = linear or branched alkylene optionally interrupted by O] linked to carboxy-containing polysaccharide through a peptide or amino acid, are prepared These compds. are reduced in toxicity and markedly enhanced in antitumor potency. Claimed is a pharmaceutical composition containing I as the active ingredient for treatment of cancers of lung, uterus, ovary, breast, digestive organs (large intestine, stomach, or pancreas), liver, kidney, prostate gland, and neck, malignant lymphoma, and leukemia. Thus, N-peptidyl-10-(3-aminopropoxy)-(20S)-camptothecin derivative (II; R = H) (preparation given) was condensed with carboxymethyl dextran sodium salt using 1-ethyl~3-(3-dimethylaminopropyl)carbodiimide hydrochloride in H2O to give the title compound II (R = carboxymethyl dextran sodium salt residue), which at 60 mg/kg (single dosage) in vivo inhibited 100% the proliferation of human breast cancer MX-1 cell in mice within 26 days after the drug administration.

187803-35-6DP, bound to carboxymethyl dextran sodium salt RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of polysaccharide-peptide or amino acid-linked camptothecin conjugates as antitumor agents)

RN 187803-35-6 CAPLUS

CN Glycinamide, glycylglycylglycylglycyl-N-[3-[[(4S)-4,11-diethyl-3,4,12,14tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2b]quinolin-9-yl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

IT 187794-72-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of polysaccharide-peptide or amino acid-linked camptothecin conjugates as antitumor agents)

RN

187794-72-5 CAPLUS
Glycinamide, glycylglycylglycylglycyl-N-[3-[[(4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

PAGE 1-A

● HCl

PAGE 1-B

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L21 ANSWER 36 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                           1998:621324 CAPLUS <<LOGINID::20060830>>
DOCUMENT NUMBER:
                           129:240848
TITLE:
                           Increasing the efficiency of uptake of transforming
                           DNA complexes with polycations using peptides
                           Hawley-Nelson, Pamela; Lan, Jianqing; Shih, Pojen; Jessee, Joel A.; Ciccarone, Valentina C.; Evans,
INVENTOR(S):
                           Krista L.; Schifferli, Kevin P.; Gebeyehu, Guililat
PATENT ASSIGNEE(S):
                           Life Technologies, Inc., USA
                           PCT Int. Appl., 105 pp.
SOURCE:
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                           KIND
                                  DATE
                                               APPLICATION NO.
                                                                         DATE
                                  19980917
                                               WO 1998-US5232
                                                                        19980316
     WO 9840502
                           A1
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
              DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
              KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                  20000418
     US 6051429
                                               US 1997-818200
                           Α
                                                                         19970314
     AU 9865622
                            A1
                                  19980929
                                               AU 1998-65622
                                                                         19980316
     EP 1007699
                            A1
                                  20000614
                                               EP 1998-911737
                                                                         19980316
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
     JP 2001517939
                            Т2
                                   20011009
                                                JP 1998-539899
                                                                         19980316
PRIORITY APPLN. INFO.:
                                                US 1997-818200
                                                                        19970314
                                                US 1995-477354
                                                                     B2 19950607
                                                US 1996-658130
                                                                     A2 19960604
                                               WO 1998-US5232
                                                                     W 19980316
     A method of increasing the efficiency of transformation of eukaryotic
     cells using complexes of nucleic acids with polycations is decribed. The
     method uses peptide conjugates with nucleic acid-binding moieties,
     cationic lipids and <u>dendrimers</u> to complex the DNA. The peptides
     may be synthetic or derived from a cellular protein and may be further
     derivatized, e.g. by selective deprotection. The peptide may also be
     covalently linked to the transfection agent (lipid, cationic lipid or
     dendrimer). Inclusion of peptides or modified-peptides in
     transfection compns. or covalent attachment of peptides to transfection
     agents increases the efficiency of transfection. Methods for the preparation
     of transfection compns. and methods of using these transfection compns. as
     intracellular delivery agents and extracellular targeting agents are also
     disclosed.
TT
     213131-72-7 213131-74-9
     RL: BUU (Biological use, unclassified); BIOL (Biological study); USES
         (increasing efficiency of transformation with; increasing efficiency of
         uptake of transforming DNA complexes with polycations using peptides)
     213131-72-7 CAPLUS
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 ${\tt Glycine, N2,N5-bis(3-aminopropyl)} or nithylglycyl-L-tyrosylglycyl-L-prolyl-L-p$ lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-L-valylglycylglycylglycylglycylgly

 $\verb|cyl-L-arginy|| glycyl-L-\alpha-aspartyl-L-methionyl-L-phenylalany|| glycyl-L-arginy|| glycyl-Arginy|| glycyl-Arginy|| glycyl-L-arginy|| glycyl-L-arginy|| glycyl-L-arginy|| glycyl-L-arginy|| glycyl-L-arginy|| glycyl-L-arginy|| glycyl-L-arginy|| glycyl-L-arginy|| glycyl-Arginy|| glycyl-$

Absolute stereochemistry.

(9CI) (CA INDEX NAME)

RN

/(CH₂)3

PAGE 1-B

PAGE 2-B

213131-74-9 CAPLUS RN

 ${\tt Glycine, N2,N5-bis(3-aminopropyl)ornithylglycylgl$ CN tyrosylglycyl-L-prolyl-L-lysyl-L-lysyl-L-lysyl-L-arginyl-L-lysyl-Lvalylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 37 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:479624 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER:

129:117851

TITLE:

Fusion proteins of leptins with immunoglobulin constant regions and their therapeutic uses Mann, Michael Benjamin; Hecht, Randy Ira

INVENTOR(S): PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.					DATE				
MO	9828	 427					1998	0702		wo :	1997-	us23	183		1	9971	211
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	, BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	ΗU,	ID,	, IL,	IS,	JP,	ΚE,	KG,	KP,	KR,
		KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	, MG,	MK,	MN,	MW,	MX,	NO,	NZ,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	, SL,	TJ,	TM,	TR,	TT,	UA,	UG,
		UZ,	VN,	YU,	ZW												
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	, AT,	BE,	CH,	DE,	DK,	ES,	FI,
		FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT	, SE,	BF,	ВJ,	CF,	CG,	CI,	CM,
		GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG								
CA	2275	183			AA		1998	0702		CA :	1997-	2275	183		1	9971	211
AU	9856	060			A1		1998	0717		AU :	1998-	5606	0		1	9971	211
ΕP	9545	88			A1		1999	1110		EP :	1997-	9524	64		1	9971	211
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	FI														
BR	9713	755			А		2000	0201		BR 3	1997-	1375	5		1	9971	211
	1246				Α		2000	0301		CN 1	1997-	1818	17		1	9971	211
JP	2001	5124	17		Т2		2001	0821			1998-				1	9971	211
NZ	5141	45			Α		2003	0829		NZ :	1997-	5141	45		1	9971	211
NZ	5246	12			Α		2004	0528			1997-				1	9971	211
	9711				Α		1998				1997-					9971	
	9902	_			Α		1999	0819			1999-					9990	
	9905				Α		2000				1999-					9990	
	6428	-			В1		2004	0831			1999-					9990	
	7708				B2		2004				2001-					0010	
	2004				A1		2004				2004-						
	2004				A1		2004				2004-					0040	
	2006				A1		2006	0518			2006-				_	0060	
DRIT	Y APP	LN.	INFO	.:							1996-		-			9961	
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											1997-					9971	
											1998-					9981	
											2000-		_			0001	
											2004-					0040	
Fu	cion	nrot	pine	Λf	lenti	ine	or 1	ont i	n an	210	as wi	th T	a Fc	COD	etar	t ro	aions

AB Fusion proteins of leptins or leptin analogs with Ig Fc constant regions that improve the resistance of the leptin moiety to proteolysis, increase its circulatory half-life, and increase its overall stability are described for therapeutic use. These effects are most marked when the Fc fragment is the N-terminal region of the fusion protein. The fusion proteins may dimerize via disulfide bridges and the Fc region is modified to prevent complement Clq binding. The fusion protein retains the biol. activity of the leptin is effective at inducing weight loss in normal and obese mice. Lean mice injected s.c. with a fusion protein of mouse leptin and Fc at 10 mg/kg/day showed a 14% weight loss (14.1±1.10) over 22 days. Obese mice showed a 10% weight loss (10±4.3) over the same period and control (PBS-injected lean mice) lost 3.9±3.3% of their weight The fusion proteins could also lower blood levels of glucose, cholesterol, and triglycerides in normal CD1 mice. Human leptin fusion proteins were less effective in mice.

IT 18861-82-0

RL: BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); USES (Uses)

(linker peptide for leptin fusion proteins with Fc fragments; fusion proteins of leptins with Ig constant regions and their therapeutic uses) 18861-82-0 CAPLUS

CN Glycine, glycylglycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 38 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:10942 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 128:48409

TITLE: Capillary electrophoresis as a method for determining

dissociation constants of aldohexose isomers

AUTHOR(S): Ye, Jian Nong; Zhao, Xue Wei; Sun, Qi Xin; Fang, Yu

Zhi

CORPORATE SOURCE: Department Chemistry, East China Normal University,

Shanghai, 200062, Peop. Rep. China

SOURCE: Mikrochimica Acta (1998), 128(1-2), 119-123

CODEN: MIACAQ; ISSN: 0026-3672

PUBLISHER: Springer-Verlag Wien

DOCUMENT TYPE: Journal

LANGUAGE: English

Capillary electrophoresis was employed for the determination of pKa values of

aldohexose isomers based on their differential migrations within the

capillary tubing. The pKa values obtained are independent of the separation

voltages. The quant. basis of pKa determination is also discussed.

3887-13-6, Hexaglycine ΙT RL: PRP (Properties)

(dissociation consts. of aldohexoses by capillary electrophoresis)

RN 3887-13-6 CAPLUS

Glycine, glycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE 1-B

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L21 ANSWER 39 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:387850 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 127:80191

Influence of aeration and carbon source on production TITLE:

of microcin B17 by Escherichia coli ZK650

AUTHOR(S): Fang, A.; Demain, A. L.

CORPORATE SOURCE: Fermentation Microbiology Laboratory, Biology

Department, Massachusetts Institute of Technology,

Cambridge, MA, 02139, USA

SOURCE: Applied Microbiology and Biotechnology (1997), 47(5),

CODEN: AMBIDG; ISSN: 0175-7598

PUBLISHER: Springer DOCUMENT TYPE: Journal English

Previous studies (Connell, N., et al., 1987) have shown that expression of the microcin B17 (MccB17) promoter is inversely related to the growth rate of the culture, when slower growth was brought about by limitation of sources of carbon, nitrogen or phosphorus. When we used oxygen limitation to decrease growth in a glucose-based chemical defined medium, we

found specific MccB17 production to be pos. related to growth rate and extent. On the other hand, when we examined various nutritional variations of media,

specific production of MccBl7 showed a neg. relationship to growth rate and extent, as would be predicted by the findings of N. Connell et al. (1987). Glucose, glycerol and acetate repressed MccBl7 production; succinate was not repressive. Succinate is an excellent carbon source for production of MccB17 since high levels can be used with no or little interference in product synthesis.

84286-90-8P, Microcin B17

RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)

(influence of aeration and carbon source on production of microcin B17 by Escherichia coli ZK650)

RN 84286-90-8 CAPLUS

CN Microcin B 17 (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 40 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:211123 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 126:199707

TITLE: Camptothecin derivatives

INVENTOR(S): Tsujihara, Kenji; Kawaguchi, Takayuki; Okuno, Satoshi;

Yano, Toshiro

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 53 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: PATENT NO

PA'	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
EP	7570	49			A1 19970205				EP 1996-305579					19960730			
EP	7570	49			B1	1									13300730		
	R:	AT, PT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GE	GR,	IE,	IT,	LI,	LU,	MC,	NL,
וומ	9660		36		A1	,	997	0206	7	זות	1996-	6060	0		1	9960	705
	7176				B2		20000		,	10	1990-	0003	0			9960	123
	9606						9970		,	7 Z	1996-	6323			1	9960	725
	1189				A1	_		1121			1996-					9960	
	1271				A1			1206			1996-					9960	
	1313				Al			319	-	T T	1996-	1213	72		1		
	2182				AA		.997			~D	1996-	2182	211	19960725 19960729			
	2182				C		20040		`	<i>-</i> 1	1000	2102	244		1	9900	123
	1007				A2		9980			ΤD	1996-	1 98 9	30		1	9960	720
	3332				B2			`	-	1000	1000	J		-	JJ00	123	
	5837				A		998:		1	US 1996-689018			19960730			730	
	1780				E		9990				T 1996-305579						
	2131				Т3		9990		F	is.	S 1996-305579			19960730			
	6334				B1		2001		F	BG 1996-100758			58	19960731			
	9603				A		9970			NO 1996-3214							
NO	3154	69			B1		2003								_	,,,,,	
BR	9603	253			A			0428	F	3 R	1996-	3253			1	9960	801
RU	2138	503			C1			927			1996-					9960	
CN	1145	365			А		9970				1996-				-	9960	
CN	1075	501			В		2001						-		_	,,,,,	002
TW	4662	42			В	2	2001	201	7	าพา	1996-	8510	9331		1	9960	802
HK	1005	545			A1	2	20000	0414			1998-				_	9980	
CN	1308	078			Α	2	2001	0815			2000-		_			0001	
PRIORITY	Y APP	LN.	INFO	. :					j	JΡ	1995-	1973	91	7			
											1995-					9951	
											1996-					9960	
											1996-						
									1	ΙL	1996-	1271	35	7	A3 1	9960	725

OTHER SOURCE(S): MARPAT 126:199707

Camptothecin derivs. I [R = aminoalkoxy, optionally bound to a polysaccharide having carboxyl groups via an amino acid or peptide; R1 = (un)substituted alkyl] were prepared I show enhanced antitumor activities but few side effects (no data). Thus, 10-(3-aminopropoxy)-7-ethyl-(20S)-camptothecin.HCl was prepared from H2N(CH2)3OH, 5,2-HO(O2N)C6H3CHO, and the pyranoindole II in 8 steps and $was \ converted \ to \ its \ glycyl-glycyl-L-phenylalanyl-glycylaminopropoxy$ derivative which was treated with carboxymethyldextran Na salt to give the conjugate.

IT 187794-72-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dextran conjugates of peptidylaminoalkoxy(ethyl)camptothecin

RN 187794-72-5 CAPLUS

CN Glycinamide, glycylglycylglycylglycyl-N-(3-[[(4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

● HCl

PAGE 1-B

IT 187852-64-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dextran conjugates of peptidylaminoalkoxy(ethyl)camptothecin

RN 187852-64-8 CAPLUS

N Glycinamide, glycylglycylglycylglycyl-N-[3-[[(4S)-4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-9-yl]oxy]propyl]-, compd. with dextran carboxymethyl ether sodium salt (9CI) (CA INDEX NAME)

CM :

CRN 187803-35-6 CMF C35 H42 N8 O10

PAGE 1-A

PAGE 1-B

CM 2

CRN 39422-83-8

CMF C2 H4 O3 . x Na . x Unspecified

CM 3

CRN 9004-54-0

CMF Unspecified

CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 4

CRN 79-14-1 CMF C2 H4 O3

L21 ANSWER 41 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:759071 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 123:246833

TITLE: Thrombin inhibitors, their preparation, and their

therapeutic and diagnostic use

INVENTOR(S): Maraganore, John M.; Fenton, Ii John W.; Kline, Toni

PATENT ASSIGNEE(S): Biogen, Inc., USA

SOURCE: U.S., 44 pp. Cont.-in-part of U.S. 5,196,404.

CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.				KIND		DATE		APPLICATION NO.				DATE		
						-							-	
US	5433	940			Α		1995	0718	US	1992-	8342	59		19920210
US	5196	404			Α		1993	0323	US	1990-	5493	88		19900706
US	5196	404			B1		1996	0910						
WO	9102	750			A1		1991	0307	WO	1990-	US46	42		19900817
	W:	ΑU,	CA,	FI,	ΗU,	JP,	KR,	NO,	US					
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, I	T, LU,	NL,	SE		
US	5425	936			Α		1995	0620	US	1992-	9245	49		19920731
US	5514	409			Α		1996	0507	US	1995-	4316	78		19950502
US	5691	311			Α		1997	1125	US	1995-	4392	97		19950511
PRIORITY	APP	LN.	INFO	.:					US	1989-	3954	82	В2	19890818
									US	1990-	5493	88	A2	19900706
									WO	1990-	US46	42	W	19900817
									US	1991-	6529	29	АЗ	19910208
									US	1992-	8342	59	АЗ	19920210
									US	1992-	9245	49	АЗ	19920731

- AB Biol. active mols. which bind to and inhibit thrombin are disclosed. Specifically, these mols. are characterized by a thrombin anion-binding exosite association moiety (ABEAM); a linker portion of at least 18 Å in length; and a thrombin catalytic site-directed moiety (CSDM). The invention also relates to compns., combinations and methods which employ these mols. for therapeutic, prophylactic and diagnostic purposes. Synthesis of hirulogs is described. The effect of hirulog 8 [D-Phe-Pro-Arg-Pro-(Gly)4-Asn-Gly-Asp-Phe-Glu-Glu-Ile-Pro-Glu-Glu-Tyr-Leu] on thrombosis is included, as are examples of hirulog 8 binding to the active site of thrombin, in vivo anticoagulant activity, clearance times, etc.
- IT 128302-35-2, Hirulog 15 128302-36-3 136271-90-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (thrombin inhibitors, their preparation, and their therapeutic and
- diagnostic use) RN 128302-35-2 CAPLUS
- CN L-Leucine, D-phenylalanyl-L-prolyl-L-arginyl-L-prolylglycylglycylglycylglycylglycyl-L-α-aspartyl-L-phenylalanyl-L-α-glutamyl-L-α-glutamyl-L-isoleucyl-L-prolyl-L-α-glutamyl-L-tyrosyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph} \\ \text{R} \\ \text{O} \\ \text{O} \\ \text{I} \\ \text{O} \\ \text{NH} \\ \text{S} \\ \text{O} \\ \text{NH} \\ \text{NH} \\ \text{NH$$

PAGE 1-C

PAGE 1-D

__ Bu−i

RN 128302-36-3 CAPLUS

L-Leucine, D-phenylalanyl-L-prolyl-L-arginyl-L-prolylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-asparaginylglycyl-L-\alpha-aspartyl-L-phenylalanyl-L-\alpha-glutamyl-L-\alpha-glutamyl-L-tyrosyl- (9CI) (CA INDEX NAME)

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PAGE 1-C

RN 136271-90-4 CAPLUS

L-Leucine, D-phenylalanyl-L-prolyl-L-arginylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-asparaginylglycyl-L- α -aspartyl-L-phenylalanyl-L- α -glutamyl-L-isoleucyl-L-prolyl-L- α -glutamyl-L- α -glutamyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

IT

135692-89-6P 135692-92-1P, Hirulog 19
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(thrombin inhibitors, their preparation, and their therapeutic and diagnostic use)

RN 135692-89-6 CAPLUS

L-Leucine, D-phenylalanyl-L-prolyl-N6-(aminoiminomethyl)-(S)-3,6-diaminohexanoylglycylglycylglycylglycylglycyl-L-asparaginylglycyl-L- $\alpha \hbox{-aspartyl-$L$--phenylalanyl-$L$-}\alpha \hbox{-glutamyl-L-}\alpha \hbox{-glutamyl-L-}$ $isoleucyl-L-prolyl-L-\alpha-glutamyl-L-\alpha-glutamyl-L-tyrosyl-$ (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

-CH2-Ph

PAGE 4-B

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RN 135692-92-1 CAPLUS CN

135692-92-1 CAPLUS L-Leucine, N-(5-[(aminoiminomethyl)amino]-2-[(1-D-phenylalanyl-L-prolyl)amino]pentyl]glycylglycylglycylglycylglycylglycyl-L-asparaginylglycyl-L- α -aspartyl-L-phenylalanyl-L- α -glutamyl-L- α -glutamyl-L-isoleucyl-L-prolyl-L- α -glutamyl-L- α -glutamyl-L-tyrosyl-, (S)-(9CI) (CA INDEX NAME)

PAGE 1-C

PAGE 2-A

PAGE 2-B

PAGE 2-C

IT 128270-63-3D, resin bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(thrombin inhibitors, their preparation, and their therapeutic and diagnostic use)

128270-63-3 CAPLUS L-Leucine, glycylglycylglycylglycylglycyl-L-asparaginylglycyl-L- α -aspartyl-L-phenylalanyl-L- α -glutamyl-L- α -glutamyl-L-isoleucyl-L-prolyl-L- α -glutamyl-L-tyrosyl- (9CI) (CA INDEX NAME) RN CN

PAGE 2-B

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L21 ANSWER 42 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:386032 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER:

122:299074 TITLE:

INVENTOR(S):

Polysaccharide derivative and drug carrier

Nogusa, Hideo; Hamana, Hiroshi; Yano, Toshiro; Kajiki, Masahiro; Yamamoto, Keiji; Okuno, Satoshi; Sugawara,

Shuichi; Kashima, Nobukazu; Inoue, Kazuhiro

PATENT ASSIGNEE(S):

Drug Delivery System Institute, Ltd., Japan PCT Int. Appl., 92 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT NO.			KINI	DATE	APPLICATION NO.		DATE	
WO	9419376			A1	19940901	WO 1994-JP322		19940228	
	W: CA,			DE	DV EC ED	GB, GR, IE, IT, LU,	мс	NI DT CE	
CA	2134348	DC,	сп,	AA					
EP	640622			A1	19950301	EP 1994-907702		19940228	
EP	640622			B1	20000809				
	R: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU,	MC, NL, PT,	SE
AT	195324			Ε	20000815	AT 1994-907702		19940228	
ES	2149867			Т3	20001116	ES 1994-907702		19940228	
PT	640622			T	20001130	PT 1994-907702		19940228	
US	5688931			Α	19971118	US 1994-325296		19941228	
GR	3034416			т3	20001229	GR 2000-402104		20000918	
PRIORIT	Y APPLN.	INFO.	. :			JP 1993-38635		A 19930226	
						WO 1994-JP322		W 19940228	

A novel $\underline{polysaccharide}$ derivative [e.g. sodium carboxymethyl AB pullulan-3'-N-(Gly-Gly-Phe-Gly)-doxorubicin] is prepared and a drug carrier and a drug composite both comprise said derivative The derivative is a carboxylated polysaccharide wherein a peptide chain composed of one to 8 same or different amino acids is introduced into part or all of the carboxyl groups of the $\underline{polysaccharide}$ and wherein part or all of those amino or carboxyl groups of the peptide chain which do not participate in the above linkage to the carboxyl groups of the polysaccharide may be bonded to the carboxyl, amino or hydroxyl groups of another compound (e.g. a drug) through amide or ester bonds. The derivative can migrate to the tumor-bearing region so readily that it can efficiently send drugs which are problematic in the side effects or have limited persistence of the drug activity in the tumor-bearing region. IT 161254-03-1 161254-04-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of polysaccharide derivative as drug carrier)

161254-03-1 CAPLUS RN

5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8- $glycylglycyl]glycyl]glycyl]glycyl]glycyl]amino]-<math>\alpha$ -L-lyxohexopyranosyl]oxy]-, monohydrochloride, (8S-cis)- (9CI) (CA INDEX NAME)

RN 161254-04-2 CAPLUS
CN Glycine, N-[N-[N-[N-[N-(triphenylmethyl)glycyl]glycyl]glycyl]glycyl]glycyl]glycyl]glycyl]glycyl]glycyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

-NH-CPh $_3$

IT 161254-03-1DP, reaction product with polysaccharides RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of polysaccharide derivative as drug carrier)
RN 161254-03-1 CAPLUS

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacety1)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-[N-[N-(N-glycyl]glycyl]glycyl]glycyl]glycyl]glycyl]glycyl]glycyl]amino]-a-L-lyxo-

hexopyranosyl]oxy]-, monohydrochloride, (8S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L21 ANSWER 43 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:180450 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 122:99869

TITLE: Crystal structures of influenza virus hemagglutinin in

complex with high-affinity receptor analogs

AUTHOR(S): Watowich, Stanley J.; Skehel, John J.; Wiley, Don C.

CORPORATE SOURCE: Department Biochemistry and Molecular Biology, Harvard

University, Cambridge, MA, 02138, USA

SOURCE: Structure (Cambridge, MA, United States) (1994), 2(8),

719-31

CODEN: STRUE6; ISSN: 0969-2126

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Background:. The first step in influenza A virus infection involves attachment to cells through binding of viral hemagglutinin to cell-surface receptors containing α -5-N-acetylneuraminic acid (sialic acid). The structures of soluble hemagglutinin in isolation and in complex with several low-affinity receptor analogs have been solved previously to approx. 3Å resolution To design effective, and possibly therapeutic, inhibitors of viral attachment we have determined the structure of hemagglutinin in complex with four high-affinity (10-fold to 100-fold higher affinity) sialic acid analogs at higher resolution In each crystal structure the sialic acid moiety is equivalently positioned in the receptor binding site but the substituent groups that

differentiate the high-affinity analogs from each other interact with hydrophobic patches and polar residues adjacent to the binding site. Re-examination of the receptor binding site at 2.15Å resolution reveals several hydrophilic pockets and an apolar channel that adjoin the receptor binding site. The interactions observed in the structures of soluble hemagglutinin in complex with receptor analogs suggest explanations for the observed affinities of the analogs, designs for potential $\underline{\text{sialic}}$ acid analogs with even higher affinities, and ideas both for inhibiting membrane fusion and for circumventing evasion of inhibition by antigenic variation.

IT 134111-59-4

RN

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(crystal structures of influenza virus hemagglutinin in complex with high-affinity receptor analogs)

134111-59-4 CAPLUS

CN α -Neuraminic acid, 2,2'-O-[1,3-phenylenebis(3,6,9,12,15-pentaoxo-2,5,8,11,14-pentaazanonadecane-1,19-diyl)]bis[N-acetyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

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L21 ANSWER 44 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

1994:574595 CAPLUS <<LOGINID::20060830>> ACCESSION NUMBER:

DOCUMENT NUMBER: 121:174595

Screening and optimization strategies for TITLE:

macromolecular crystal growth

AUTHOR(S): Cudney, Bob; Patel, Sam; Weisgraber, Karl; Newhouse,

Yvonne; McPherson, Alexander

Dep. Biochem., Univ. California, Riverside, CA, 92521, CORPORATE SOURCE: USA

Acta Crystallographica, Section D: Biological

SOURCE: Crystallography (1994), D50(4), 414-23

CODEN: ABCRE6; ISSN: 0907-4449

DOCUMENT TYPE: Journal

LANGUAGE: English Today the determination of successful crystallization conditions for a particular macromol. (e.g., proteins, enzymes) remains a highly empirical process. Sparse-matrix and grid-screening procedures are rapid and economical means to determine preliminary crystallization conditions. During optimization the variable set (pH, precipitant type and precipitant concentration) utilized in these procedures is screened to determine appropriate conditions for the nucleation and growth of single crystals suitable for x-ray diffraction anal. The authors explored, in an empirical sense, other tools for use during optimization. First, a new screening protocol is evaluated which employs less classical precipitating agents. Second, a set of 24 electrostatic crosslinking agents are evaluated for their ability to promote crystallization Third, a panel of >30 detergents are evaluated for their ability to

prevent sample aggregation and influence crystal growth. ΙT

3887-13-6, Hexaglycine RL: PRP (Properties)

(macromol. crystallization in presence of)

RN 3887-13-6 CAPLUS

Glycine, glycylglycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

PAGE .1-B

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ACCESSION NUMBER: 1994:509622 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 121:109622

TITLE: Chemical and enzymic synthesis of multivalent

sialoglycopeptides

AUTHOR(S): Unverzagt, Carlo; Kelm, Soerge; Paulson, James C. CORPORATE SOURCE: Sch. Med., UCLA, Los Angeles, CA, 90024-1737, USA

SOURCE: Carbohydrate Research (1994), 251, 285-301

CODEN: CRBRAT; ISSN: 0008-6215

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:109622

Linear and branched glycopeptides containing multiple sialyl -N-acetyllactosamine side chains have been synthesized using a combined chemical and enzymic approach. Peptide backbones in which $\beta\text{-GlcNAc-Asn}$ residues were incorporated were obtained in good yields by optimized solid-phase synthesis following the Boc strategy. The resulting multivalent glycopeptides were galactosylated in near-quant. yields using bovine galactosyltransferase, UDP-galactose, and calf alkaline phosphatase that destroys the inhibiting side product UDP. Subsequent enzymic sialylation yielded the desired glycopeptides containing asparagine-linked sialyl-N-acetyllactosamine side chains. The compds. were characterized by 1H NMR and FABMS. Recombinant sialyltransferase and CMP-sialate synthetase were used for the enzymic synthesis of sialosides on a preparative scale. The synthetic glycopeptides were tested as inhibitors of influenza virus to cells, revealing that most of the multivalent sialoglycopeptides exhibit increased binding that depends on the spacing when compared to monovalent compds. A possible mechanism for increased binding is proposed.

IT 156825-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(enzymic synthesis of)

RN 156825-98-8 CAPLUS

Glycine, N-acetylglycylglycyl-N-[O-(N-acetyl-α-neuraminosyl)(2→6)-O-β-D-galactopyranosyl-(1→4)-2-(acetylamino)-2deoxy-β-D-glucopyranosyl)-L-asparaginylglycyl-N-[O-(N-acetyl-α-neuraminosyl)-(2→6)-O-β-D-galactopyranosyl(1→4)-2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]-Lasparaginylglycyl- (9CI) (CA INDEX NAME)

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PAGE 1-E

IT <u>156825-96-6P</u>

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and enzymic glycosylation of)

RN 156825-96-6 CAPLUS

CN Glycine, N-acetylglycylglycyl-N-[2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]-L-asparaginylglycyl-N-[2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]-L-asparaginylglycyl- (9CI) (CA INDEX NAME)

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PAGE 1-C

PAGE 1-D

IT 156825-97-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and enzymic sialylation) 156825-97-7 CAPLUS

RN Glycine, N-acetylglycylglycyl-N-[2-(acetylamino)-2-deoxy-4-O- β -D-CN $\verb|galactopyranosyl-$\beta-$D-glucopyranosyl|-L-asparaginylglyc$ galactopyranosyl β β galactopyranosyl, β asparaginyglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl $-N-(2-(acetylamino)-2-deoxy-4-O-\beta-D-galactopyranosyl-<math>\beta$ -D-glucopyranosyl]-L-asparaginylglycyl- (9CI) (CA INDEX NAME)

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PAGE 1-D

PAGE 1-E

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L21 ANSWER 46 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:409966 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 121:9966

Solid-Phase Chemical-Enzymic Synthesis of TITLE:

Glycopeptides and Oligosaccharides

AUTHOR(S): Schuster, Matthias; Wang, Peng; Paulson, James C.;

Wong, Chi-Huey Department of Chemistry, Scripps Research Institute, CORPORATE SOURCE:

La Jolla, CA, 92037, USA

Journal of the American Chemical Society (1994), 116(3), 1135-6 SOURCE:

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal LANGUAGE: English

A new strategy for the high yield solid-phase synthesis of glycopeptides has been developed. It employs a solid-phase chemical synthesis of a peptide acceptor followed by enzymic glycosylation on a silica-based solid support. This strategy allows the rapid iterative formation of peptide and glycosidic bonds on organic and aqueous solvents, and enables the

release or the glycopeptide or <u>oligosaccharide</u> from the support enzymically under mild conditions. A representative synthesis of \underline{sialyl} Lewis x glycopeptides, e.g. I (Boc = Me3CO2C), is illustrated.

ΙT

155521-05-4DP, amides with aminopropylated silica RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate in solid-phase chemical-enzymic synthesis of glycopeptides and oligosaccharides)

155521-05-4 CAPLUS

CN yl]glycyl]glycyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN

L21 ANSWER 47 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

1994:239251 CAPLUS <<LOGINID::20060830>> ACCESSION NUMBER:

DOCUMENT NUMBER: 120:239251

TITLE: Technetium-99m-labeled peptides for thrombus imaging

Dean, Richard T.; Lister-James, John INVENTOR(S):

Diatech, Inc., USA PATENT ASSIGNEE(S): PCT Int. Appl., 60 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 44

PATENT INFORMATION:

PA	PATENT NO.)		DATE			APPLICATION NO.					DATE		
WO	9323	085			A1		19931			WO	19	93-U	IS479	4			19930	521
	W:	AU,	CA,	JP,	KR,	US			a n	~		T D	- m	.			D.M.	on.
	RW:	AT,	BE,	CH,	DE,	DK.	, ES,	FR,	GB,	GF	٠,	LE,	IT,	LU,	MC,	NL	, PT,	SE
CA	2136	330			AA		19931	.125		CA	19	93-2	1303	30			19930	521
CA	2136	330			7.1		10021))))		זזת	10	02 4	2015				19930	
AU	9343	845 00			NT.		19931	1117		AU	19	93-4	3043	•			19930	321
AU	6412	22			70.1		10050	7411		ED	1 Ω	03-0	11102	3			19930	521
E P	6412	22			D1		20000	1906		E.F	ТЭ	23-2	71402	J			19930	JZ I
E.F	D.	ረረ ስጥ	DF	CH	DE	DK	F 9	FR	GB	דח	r	LT.	NT.	SE				
.TD	0750	0200	Di.,	CII,	T?	Dit	19950	1914	GD,	.TP	19	94-5		4			19930	521
.10	2941	057			B2		19990	1825		0.		٠. ٠	,050.	•			19930	U
E.P.	1004	322			A2		20000	1531		EΡ	19	99-1	2400	3			19930	521
EP	1004	322			A3		20031	203						_				
EP	1004	322			B1		20031	0614										
	p.	ΔΤ	BE	CH	DE.	DK	ES	FR.	GR.	IT	Γ,	LI,	NL,	SE				
AT	1960	94	,		E		20000	915	·	ΑТ	19	93-9	91402	:3			19930 19930 19930 19931 19950 19970 19980	521
ES	2150	945			Т3		20001	216		ĖS	19	93-9	91402	:3			19930	521
AT	3296	24			E		20060	0715		ΑТ	19	99-1	2400	3			19930	521
ZA	9307	543			Α		19940	0805		ZA	19	93-7	7543				19931	012
US	5925	331			Α		19990	0720		US	19	95-3	33583	32			19950	105
US	5997	845			Α		19991	L207		US	19	97-9	90236	7			19970	729
JP	1029	1939			A2		19981	L104		JΡ	19	98-4	15661				19980	226
JP	3380	738			B2		20030	0224										
PRIORIT	Y APP	LN.	INFO	. :						US	19	92-8	38675	2	i	42	19920	521
										US	19	91-6	55301	.2	1	В2	19910 19920	208
										US	19	92-8	39398	31	- 1	EA	19920	605
										US	19	93-4	14825)		BI	19930	408
										EΡ	19	93-9	91402	23		A3	19930	521
										JP	19	94-5	50384	4		A3	19930 19930	521
										WO	19	93-t	JS479	4	1	M	19930	521

US	1994-273274	A2	19940711
US	1995-439905	A3	19950512
US	1995-462668	B1	19950605
HS	1995-469858	А	19950606

OTHER SOURCE(S): MARPAT 120:239251

Radiolabeled reagents that are scintigraphic imaging agents for imaging sites of thrombus formation in vivo, and methods for producing such reagents, are disclosed. Specifically, the reagents comprise a specific binding compound, capable of binding to ≥1 component of a thrombus, covalently linked to a 99mTc-binding moiety. The invention provides these reagents, methods and kits for making such reagents, and methods for using such reagents labeled with technetium-99m to image thrombus sites in a mammalian body. Deep vein thrombosis in a canine model was imaged using (CH2CO-D-Y-Apc-GDCGGCAcmGCAcmGGC-amide)2-[BAT-BS] radiolabeled with 99mTc {I; Apc = L-S-(3-aminopropyl)Cys; Acm = acetamidomethyl; BAT-BS = N-[2-N,N-bis(2-succinimidoethyl)aminoethyl]-N6,N9-bis(2-mercapto-2-

of human platelets in platelet-rich plasma with an IC50 of 0.081 μM . Preparation of radiolabeled peptides is described.

IT 153477-21-5

RL: BIOL (Biological study)

(as technetium-99m-binding compound, in scintigraphic imaging agent for imaging thrombus)

RN 153477-21-5 CAPLUS

CN L-Leucine, N-(mercaptoacetyl)glycylglycylglycylglycyl-D-phenylalanyl-L-prolyl-L-arginyl-L-prolylglycylglycylglycylglycyl-L-asparaginylglycyl-L-α-aspartyl-L-phenylalanyl-L-α-glutamyl-L-α-glutamyl-L-isoleucyl-L-prolyl-L-α-glutamyl-L-α-glutamyl-L-tyrosyl- (9CI) (CA INDEX NAME)

methylpropyl)-6,9-diazanonanamide}. I inhibited the aggregation

Absolute stereochemistry.

PAGE 1-B

PAGE 1-D

L21 ANSWER 48 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:27138 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 120:27138

TITLE: The maturation pathway of microcin B17, a peptide

inhibitor of DNA gyrase

AUTHOR(S): Yorgey, Peter; Davagnino, Juan; Kolter, Roberto CORPORATE SOURCE: Dep. Microbiol. Mol. Genet., Harvard Med. Sch.,

Boston, MA, 02115, USA

SOURCE: Molecular Microbiology (1993), 9(4), 897-905

CODEN: MOMIEE; ISSN: 0950-382X

DOCUMENT TYPE: Journal LANGUAGE: English

The in vivo maturation pathway of microcin B17 (MccB17), a ribosomally synthesized peptide antibiotic which inhibits DNA gyrase, has been characterized,. Synthesis of MccB17 involves several steps, beginning with the translation of the MccB17 structural gene, mcbA, to yield a 69 amino acid precursor, preMccB17. PreMccB17 is then modified and folded by the action of three gene products, McbBCD, to yield proMccBl7. Mutations in mcbA were isolated that permit modifications of the resulting mutant peptides, but prevent folding, suggesting that modification and folding are sequential steps. ProMccB17 is subsequently converted to MccB17 by removal of the N-terminal 26-amino-acid leader by a chromosomally encoded protease. Removal of the leader resulted in aggregation of the peptide, suggesting that the leader may function to maintain peptide solubility during synthesis in the cell. Finally, polyclonal antibodies raised against MccB17 recognize both MccB17 and proMccB17, but do not recognize preMccB17. This demonstrates the dramatic structural changes that result from the modifications and has been used to distinguish intermediates in

the steps of maturation. ΙT 84286-90-8, Microcin B 17 RL: FORM (Formation, nonpreparative) (formation of, processing intermediates in) RN 84286-90-8 CAPLUS Microcin B 17 (9CI) (CA INDEX NAME) CN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L21 ANSWER 49 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

1993:619938 CAPLUS <<LOGINID::20060830>> ACCESSION NUMBER:

DOCUMENT NUMBER: 119:219938

Binding determinants of the sialic TITLE:

acid-specific lectin from the slug Limax flavus

AUTHOR(S): Knibbs, Randall N.; Osborne, Scott E.; Glick, Gary D.;

Goldstein, Irwin J. Dep. Biol. Chem., Univ. Michigan, Ann Arbor, MI, CORPORATE SOURCE:

48109-0606, USA

SOURCE: Journal of Biological Chemistry (1993), 268(25),

18524-31

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE: Journal LANGUAGE: English

The specific structural features of 24 N-acetylneuraminic acid derivs. required for the high affinity interaction of sialoglycoconjugates with the sialic acid-specific lectin from the slug Limax flavus were studied by hapten inhibition of precipitation These results provide insight regarding the structure of the binding pocket for N-acetylneuraminic acid that exists in L. flavus agglutinin (LFA). The lpha-anomer of sialic acid is a very important factor in binding to the slug lectin. The carboxylic acid group makes only a moderate contribution to binding, since modifications of the carboxylic group decrease binding approx. 5-fold. Modification or removal of the hydroxyl group on carbon 4 does not affect binding. However, when the C4 epimer was tested, there was a dramatic decrease in binding, suggesting that whereas the equatorial hydroxyl at C4 does not contribute to binding, the introduction of an axial hydroxyl group at C4 sterically hinders the binding interaction. The substituent on the 5-amino group occupies an important role in binding of Neu5Ac to LFA as well. When the acetyl is modified by the addition of a hydroxyl group to yield the N-glycolyl derivative, the authors observed a 20-fold decrease, while the removal of the Me to form the N-formyl derivative resulted in a 50-fold decrease. The 5-amino derivative was the poorest inhibitor of all compds. examined, indicating a critical role for the N-acetyl group in high affinity binding to LFA. The glyceryl tail also appears to be critical for binding inasmuch as acetylation of the C9 hydroxyl group or periodate cleavage of carbons 8 and 9 resulted in a 20- to 50-fold decrease in binding. The equilibrium constant (Ka) for binding of Neu5Ac to LFA is 3.8 + 104 M-1, with a single binding site (n = 0.85) per monomer. TT 134111-59-4

RL: BIOL (Biological study)

(sialic acid-specific lectin of slug binding by, structure

relation to)

134111-59-4 CAPLUS RN

CN

 α -Neuraminic acid, 2,2'-O-[1,3-phenylenebis(3,6,9,12,15-pentaoxo-2,5,8,11,14-pentaazanonadecane-1,19-diyl)]bis[N-acetyl- (9CI) (CA INDEX

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

L21 ANSWER 50 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:551646 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 119:151646

TITLE:

Effects of hybrid peptide analogs to receptor

recognition domains on α - and γ -chains of

human fibrinogen on fibrinogen binding to platelets

Mohri, Hiroshi; Ohkubo, Takao AUTHOR(S):

CORPORATE SOURCE: Sch. Med., Yokohama City Univ., Yokohama, 236, Japan

SOURCE: Thrombosis and Haemostasis (1993), 69(5), 490-5

CODEN: THHADQ; ISSN: 0340-6245

DOCUMENT TYPE: Journal

LANGUAGE:

English The authors synthesized a series of hybrid peptides that correspond to the γ -chain dodecapeptide (400-411), variable nos. of glycine residues, and the RGDS peptide [Y-HHLGGAKQAGDV(G)nRGDS] to investigate the relationship of these receptor recognition domains of fibrinogen to platelet membrane glycoprotein IIb/IIIa. The tetrapeptide RGDS, the GRGDSPA peptide and the dodecapeptide inhibited binding of fibrinogen to GPIIb/IIIa by 50% (IC50) at concns. of 17 \pm 1.6 μ M, 15 \pm 2.1 $\mu M,$ and 87 \pm 6.8 $\mu M,$ resp. The inhibitory effect of hybrid peptides increased as the number of glycine residues increased, plateauing with 9-11 glycine residues in hybrid peptide analogs, which had an IC50 of $0.68 \pm 0.14 \, \mu M$. These hybrid peptides completely inhibited the binding of fibrinogen to activated platelets when used in sufficient concns. The peptide Y-HHLGGAKQAGDV(G) 9RGDS blocked ADP-induced aggregation in citrated platelet-rich plasma with IC50 of 3.5 \pm 0.6 μM . When the peptide Y-HHLGGAKQAGDV(G)9RGDS was labeled with 125I to quantify its binding to platelets, maximal binding was observed within 30 min. The binding sites of the hybrid peptide were 43,600 mols./platelet (Kd = $3.1 \pm 0.5 + 10-7$ M) to stimulated platelets and 12,500 mols./platelet (Kd = $1.4 \pm 0.2 + 10-7 \text{ M}$) to nonstimulated

platelets. The hybrid peptides had the same binding affinity to platelets as fibrinogen and inhibited platelet function. Moreover, anti-GPIIb/IIIa antibody inhibited the binding of the labeled hybrid peptide to stimulated platelets. These results indicate that in the native fibrinogen mol. the presence of both the RGD sequence or the γ -chain domain at optimal distances increased the binding affinity to GPIIb/IIIa. These domains may be the source of hybrid peptide, expanding a new class of platelet inhibitors that act at membrane receptors for adhesive proteins. 149968-85-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and radioiodination of, fibrinogen binding to human blood platelet inhibition and structure in relation to)

RN 149968-85-4 CAPLUS

ΙT

CN

L-Serine, L-tyrosyl-L-histidyl-L-histidyl-L-leucylglycylglycyl-L-alanyl-L-lysyl-L-glutaminyl-L-alanylglycyl-L- α -aspartyl-L-valylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

$$\begin{array}{c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

PAGE 1-D

Absolute stereochemistry.

PAGE 1-A

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-B

PAGE 1-C

PAGE 1-D

RN 149968-88-7 CAPLUS

L-Serine, L-tyrosyl-L-histidyl-L-histidyl-L-leucylglycylglycyl-L-alanyl-L-lysyl-L-glutaminyl-L-alanylglycyl-L- α -aspartyl-L-valylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-C

RN 149968-89-8 CAPLUS

CN L-Serine, L-tyrosyl-L-histidyl-L-histidyl-L-leucylglycylglycyl-L-alanyl-Llysyl-L-glutaminyl-L-alanylglycyl-L-α-aspartyl-Lvalylglycyl-Larginylglycyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-C

PAGE 1-D

L21 ANSWER 51 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:125729 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 116:125729

TITLE: Immobilized Arg-Gly-Asp (RGD) peptides of varying

lengths as structural probes of the platelet

glycoprotein IIb/IIIa receptor

AUTHOR(S): Beer, Juerg H.; Springer, Karen T.; Coller, Barry S.

CORPORATE SOURCE: Div. Hematol., State Univ. New York, Stony Brook, NY,

11794, USA

SOURCE: Blood (1992), 79(1), 117-28

CODEN: BLOOAW; ISSN: 0006-4971

DOCUMENT TYPE: Journal LANGUAGE: English

The interactions between ligands containing the recognition sequence arginine-glycine-aspartic acid (RGD) and integrin receptors are important in many cell-cell and cell-protein interactions. The platelet contains five integrin receptors, and they contribute significantly to platelet adhesion and aggregation. To investigate the RGD binding domains on platelet integrins, the authors immobilized a series of RGD peptides containing variable nos. of glycine residues [(G)n-RGDF] on polyacrylonitrile beads and evaluated the ability of the beads to interact with platelets. With native platelets, virtually no interaction occurred with G1-RGDF beads, but the interactions increased as the number of glycine residues increased, plateauing with the G9-RGDF and G11-RGDF beads. ADP pretreatment enhanced the interactions with all of the beads, whereas prostaglandin El pretreatment eliminated the interactions with the shortest peptide beads, but only partially inhibited interactions with the longer peptide beads. Monoclonal antibodies to glycoprotein (GP) IIb/IIIa were most effective in inhibiting the interactions, but antibodies to GPIIb/IIIa with similar inhibitory effects on fibrinogen binding varied dramatically in their ability to inhibit the interaction between platelets and immobilized RGD peptides. The data indicate that the majority of RGD binding sites on $\ensuremath{\mathsf{GPIIb}}/\ensuremath{\mathsf{IIIa}}$ can be reached by peptides that extend out .apprx.11-32 Å from the surface of the bead, and these results are in accord with the dimensions of integrin receptors deduced from electron

microscopy. Activation of GPIIb/IIIa facilitates the interactions, but platelet inhibition fails to eliminate the interactions with the longer peptide beads, suggesting that access to the RGD binding site on at least a fraction of the GPIIb/IIIa receptors is always possible for preferred ligands. Finally, it was found that the G3-RGDF peptide beads were uniquely sensitive to the activation state of the GPIIb/IIIa receptor.

IT $\frac{139579-67-2DP}{139579-69-4DP}, \ immobilized \ \frac{139579-68-3DP}{139579-70-7DP}, \ immobilized \ \frac{139579-71-8DP}{139579-73-0DP}, \ immobilized \ \frac{139579-72-9DP}{139579-74-1DP}, \ immobilized \ \frac{139579-73-0DP}{RL: \ SPN \ (Synthetic preparation); \ PREP \ (Preparation)}$

(preparation and human blood platelet agglutinizing activity of, glycine spacer length in relation to)

RN 139579-67-2 CAPLUS

CN L-Phenylalanine, N-[N-[N-[N-[N-[N-(N-glycylglycyl)glycyl]glycyl]]-L-arginyl]glycyl]-L-α-aspartyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

Absolute stereochemistry.

PAGE 1-B

RN 139579-69-4 CAPLUS

CN L-Phenylalanine, glycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-B

RN 139579-70-7 CAPLUS

CN L-Phenylalanine, glycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-arginylglycyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

 ${\tt Absolute} \ {\tt stereochemistry}.$

$$H_{2N}$$
 H_{2N}
 H

PAGE 1-B

PAGE 1-C

RN 139579-71-8 CAPLUS

CN L-Phenylalanine, glycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-C

RN 139579-72-9 CAPLUS

CN L-Phenylalanine, glycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-arginylglycyl-L-α-aspartyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

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PAGE 1-B

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-C

RN 139579-73-0 CAPLUS

CN L-Phenylalanine, glycyl-L-arginylglycyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-B

PAGE 1-C

RN 139579-74-1 CAPLUS

CN L-Phenylalanine, glycyl-L-arginylglycyl-L-arginylglycyl-L-α-aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-B

$$\begin{array}{c|c} & & & & \\ & &$$

PAGE 1-C ^И´ О Н

PAGE 1-D

L21 ANSWER 52 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1992:18277 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER:

116:18277

TITLE:

Ligand recognition by influenza virus. The binding of

bivalent sialosides

AUTHOR(S):

Glick, Gary D.; Toogood, Peter L.; Wiley, Don C.;

Skehel, John J.; Knowles, Jeremy R.

CORPORATE SOURCE:

Dep. Chem., Harvard Univ., Cambridge, MA, 02138, USA

SOURCE: Journal of Biological Chemistry (1991), 266(35),

23660-9

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Infection by influenza virus is initiated by a cellular adhesion event that is mediated by the viral protein hemagglutinin, which is exposed on the surface of the virion. Hemagglutinin recognizes and binds to cell surface sialic acid residues. Although each individual ligand binding interaction is weak, the high affinity of influenza virus for cells that bear sialic acid residues is thought to result from a multivalent attachment process involving many similar recognition events. To evaluate such binding 3 series of compds. were synthesized, each containing 2 sialic acid residues separated by spaces of different length, and were tested as ligands for influenza hemagglutinin. No increased binding to the bromelain-released hemagglutinin ectodomain was seen for any of the bivalent compds. as determined by 1H NMR titration In contrast, however, a spacer length between sialic acid residues of .apprx.55 Å sharply increases the binding of these bidentate species to whole virus as determined by hemagglutination inhibition assays. The most effective compound containing glycines in the linking chain displayed 100-fold increased affinity for whole virus over the paradigm monovalent ligand, Neu5Acα2Me.

IT 134111-59-4 RL: BIOL (Biological study) (influenza virus binding to)

RN 134111-59-4 CAPLUS

CN α-Neuraminic acid, 2,2'-O-[1,3-phenylenebis(3,6,9,12,15-pentaoxo-2,5,8,11,14-pentaazanonadecane-1,19-diyl)]bis[N-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 1-C

L21 ANSWER 53 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:403559 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 115:3559

TITLE: Molecular recognition of bivalent sialosides by

influenza virus

AUTHOR(S):

Glick, Gary D.; Knowles, Jeremy R. Dep. Chem., Harvard Univ., Cambridge, MA, 02138, USA CORPORATE SOURCE:

SOURCE: Journal of the American Chemical Society (1991),

113(12), 4701-3

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal LANGUAGE: English

Infection by influenza virus is initiated by the binding of virus particles to cell-surface glycoproteins and glycolipids that terminate in sialic acid. This interaction is mediated by the trimeric viral protein hemagglutinin, the crystal structure of which has defined the fit between the protein and its ligand. Monovalent sialosides bind only weakly to hemagglutinin, and the binding of virus to cells presumably requires the interaction of many hemagglutinin trimers and many sialic acid ligands. Two families of bivalent sialosides were synthesized; bis-sialosides of appropriate length bind tightly, not to isolated hemagglutinin, but to intact virus. Sialic acid residues joined by oligoglycine chains bind more tightly than when the linker is based upon the more flexible polyethylene glycol. The bivalent ligands evidently bind intermolecularly to adjacent hemagglutinin trimers on the viral surface, illustrating the energetic consequences of multivalent binding and pointing to new strategies for the prevention of virus binding to susceptible cells in vivo.

IΤ 134111-59-4

RL: BIOL (Biological study)

(hemagglutinin binding of, influenza virus binding properties in relation to)

RN 134111-59-4 CAPLUS

CN α -Neuraminic acid, 2,2'-O-[1,3-phenylenebis(3,6,9,12,15-pentaoxo-2,5,8,11,14-pentaazanonadecane-1,19-diyl)]bis[N-acetyl- (9CI) (CA INDEX NAME.)

Absolute stereochemistry.

PAGE 1-B

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

PAGE 1-C

L21 ANSWER 54 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:101827 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 110:101827

TITLE: Dialysis solution and utilization of peptides based on

glycine for its preparation

INVENTOR(S): Yatzidis, Hippocrates

PATENT ASSIGNEE(S): Fabre, Pierre, Medicament, Fr.

SOURCE: Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
		A2	19880810 19901219	EP 1988-400151		19880125	
	EP 277868						
	JP 63214263	A2	19880906	C, LI, LU, NL, SE JP 1988-14359	1	19880125	
	JP 2707266 US 4959175			US 1988-147424	,	19880125	
	AT 76309	E	19920615	AT 1988-400151	1	19880125	
PRIC	ES 2039283 DRITY APPLN. INFO.:	Т3	19930916	ES 1988-400151 GR 1987-129			
7.0	7 UCO2 harad dial.	-41		EP 1988-400151			
AB	solution contained	NaCl 5.	9034, NaHCO3	ses a glycine peptid 3 2.9403, KCl 0.0745, 0.1016, and glucose		-	
	15.0000 g/L. The s	olution	was tested	for peritoneal dialy	sis i	in rabbits a	nd
	for hemodialysis in		•				
IT	3887-13-6, Hexaglyc						
	RL: BIOL (Biologica	-					
	(dialysis soluti	on cont	aining)				
RN	3887-13-6 CAPLUS						
CN	Glycine, alvcylalyc	vlalvcv	lalvcvlalvcv	/1- (9CI) (CA INDEX	NAME)	

CN Glycine, glycylglycylglycylglycyl- (9CI) (CA INDEX NAME)

L21 ANSWER 55 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:515958 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 107:115958

TITLE: Association of peptide chains during Merrifield solid-phase peptide synthesis. A deuterium NMR study AUTHOR(S): Ludwick, Adriane G.; Jelinski, Lynn W.; Live, David;

Kintanar, Agustin; Dumais, Joseph J.

CORPORATE SOURCE: AT and T Bell Lab., Murray Hill, NJ, 07974, USA SOURCE: Journal of the American Chemical Society (1986),

108(21), 6493-6

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal LANGUAGE: English

AB Solid-state deuterium NMR spectra are reported for swollen Merrifield resins containing protected glycine oligomers, I (n = 1, 3, 5, 7, 8, 9), with the goal of delineating mol.-level interactions that can affect desired reactivity of these materials. The polystyrene matrix with its pendant glycine oligomers is a comb-type graft copolymer and affords a highly controlled model for these polymer systems. The results are consistent with a model in which partial aggregation of the glycine oligomers occurs after the pendant chain reaches a critical length (n > 5). Lengths greater than this correspond to the overlap necessary to form at

oligomers occurs after the pendant chain reaches a Critical length (n > 5) Lengths greater than this correspond to the overlap necessary to form at least one helix repeat of the polyglycine II structure. The polystyrene matrix is concomitantly immobilized, presumably due to addnl. effective crosslinks caused by the <u>aggregation</u>.

IT 110121-61-4D, benzhydrylamine resin-bound 110121-62-5D, benzhydrylamine resin-bound 110121-63-6D, benzhydrylamine resin-bound 110121-64-7D, benzhydrylamine resin-bound 110121-65-8D, benzhydrylamine resin-bound RL: PROC (Process)

(deuterium NMR of)

RN 110121-61-4 CAPLUS

CN Glycinamide-2,2-d2, N-[(1,1-dimethylethoxy)carbonyl]glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2- (9CI) (CA INDEX NAME)

PAGE 1-B

— NH2

RN 110121-62-5 CAPLUS

CN Glycinamide-2,2-d2, N-[(1,1-dimethylethoxy)carbonyl]glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-(9CI) (CA INDEX NAME)

PAGE 1-B

110121-63-6 CAPLUS

CN Glycinamide-2,2-d2, N-[(1,1-dimethylethoxy)carbonyl]glycyl-2,2-d2-glycyl-2, d2- (9CI) (CA INDEX NAME)

PAGE 1-A CD2 - NH- CD2-

PAGE 1-B

RN 110121-64-7 CAPLUS

CN glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN

110121-65-8 CAPLUS
Glycinamide-2,2-d2, N-[(1,1-dimethylethoxy)carbonyl]glycyl-2,2-d2-g CN 2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2-d2-glycyl-2,2d2-glycyl-2,2-d2- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L21 ANSWER 56 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:214370 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 106:214370

TITLE: Design of the synthetic route for peptides and $% \left(1\right) =\left(1\right) \left(1\right)$ proteins. V. Conformations in the solid state and solubility properties of protected homooligopeptides of glycine and $\beta\text{-alanine}$

AUTHOR(S): Narita, Mitsuaki; Doi, Masamitsu; Kudo, Koji;

Terauchi, Yusuke

CORPORATE SOURCE: Fac. Technol., Tokyo Univ. Agric. Technol., Koganei,

184, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1986),

59(11), 3553-7 CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

IR spectroscopic conformational analyses of Boc-(Gly)n-OBzl (n = 3-7) and $Boc-(\beta-Ala)n-OBzl$ (n = 3-8) in the solid state indicated the

occurrence of the β -sheet structure in the higher oligomers (n =

5-8). Solubility data indicate that insolubilities of Boc-Glyn-OBzl and Boc-(β -Ala)n-OBzl in high-polar solvents begin at hexa- and

heptapeptide levels, resp. Insoly. of protected homooligopeptides of Gly and β -Ala was estimated to be caused by β -sheet aggregation

. The high potential for the β -sheet formation of Boc-Glyn-OBzl and Boc-(β -Ala)n-OBzl (n \geq 5) could clearly be attributed to the

great freedom of the peptide backbone dihedral angles of each of the Gly and β -Ala residues in the β -sheet structure. The implications

of a replacement of a few Gly residues with $\beta\textsc{-Ala}$ residues in surface

regions of proteins are also discussed.

TΤ 108432-92-4P 108432-93-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and solubility and solid-state conformation of)

RN 108432-92-4 CAPLUS

CN Glycine, $N-\{N-\{N-\{N-\{N-\{(1,1-dimethylethoxy)carbonyl\}glycyl\}glycyl\}glycyl\}glycyl$ yl]glycyl]glycyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 108432-93-5 CAPLUS

Glycine, N-[N-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]glycyllyglyglycyl]glycyl]glycyl]glycyl]glycyllyglycyl]glycyllyglyglyglyglyglycyl]glycyllyglyglyglyglyglycyl]glyclycyl]glycyl]glycyl]j-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

L21 ANSWER 57 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1987:81149 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 106:81149

TITLE: Probing protein secondary structures using antipeptide antibodies

AUTHOR(S): Prinz, Heinrich; Schulz-Gahmen, Ursula; Beyreuther,

Konrad

CORPORATE SOURCE: Inst. Genet., Univ. Cologne, Cologne, D-5000/41, Fed.

Rep. Ger.

SOURCE: Protides of the Biological Fluids (1986), 34, 67-71

CODEN: PBFPA6; ISSN: 0079-7065

DOCUMENT TYPE: Journal LANGUAGE: English

Model studies are described to assign α -helical, β -pleated sheet, and β -turn regions to protein primary structures by using anti-peptide antibodies. Probing of the helical fold was achieved with synthetic peptide immunogens which mimic a vertical segment of an individual helical rod. Two designs were successfully employed: peptides containing every 4th residue of a putative protein helix of lactose permease were either linked by the corresponding sequence neighbor of the protein sequence or linked by an alanine residue. Thus, the translation of the relevant residues of the extended peptides approximated to that of the helical pitch. By immunoblotting expts. the corresponding anti-peptide antibodies were shown to react with lactose permease in a sequence-specific, and conformation-dependent manner. Anti-peptide antibodies recognizing sequences in β -pleated sheet conformation were obtained with synthetic immunogens of sequences containing only every 2nd protein residue linked by an alanine spacer in order to arrive at the desired residue translation of β -sheets. The selected protein residues corresponded to β -strand residues of the subunit interface of Con A or to a β -strand partly exposed to the surface of Con A. The specific anti-peptide antibodies recognized monomeric and tetrameric Con A, resp. Probing β -turn recognition by anti-peptide antibodies was attempted with synthetic immunogens that included a sequence folded into a $\beta\text{-turn}$ which was inserted between 2 antiparallel $\beta\text{-strands}.$ The $\beta\text{-turn}$ of the 13-residue model peptide was the immunodominant part of the peptide. The anti-peptide antibodies had 3 orders of magnitude higher affinity for the epitope in $\beta\text{-turn}$ configuration than in random coil structure.

IT 106678-55-1P

RL: PREP (Preparation)
(preparation and antibody induction against, protein secondary structure

anal. in relation to)

RN 106678-55-1 CAPLUS

CN Glycine, glycylglycylglycylglycylglycyl-L- α -aspartyl-L-prolylglycyl-L-glutaminylglycylglycyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L21 ANSWER 58 OF 58 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1969:2361 CAPLUS <<LOGINID::20060830>>

DOCUMENT NUMBER: 70:2361

TITLE: Effects of cholic acid-related compounds on

experimental hypercholesterolemia and atherosclerosis

in rabbits

AUTHOR(S): Aonuma, Shigeru; Mimura, Tsutomu; Mitta, Yukinori;

Kadokawa, Toshiaki; Hiramine, Chiharu; Miyai, Kyoko;

Saito, Kihachi; Hieda, Tokiko

CORPORATE SOURCE: Fac. Pharm. Sci., Osaka Univ., Osaka, Japan

SOURCE: Yakugaku Kenkyu (1967), 38(12), 409-21

CODEN: YKKKA8; ISSN: 0372-7734

DOCUMENT TYPE: Journal LANGUAGE: Japanese

AB Cholylleucine, cholyltyrosine, cholylglycine, cholylhexaglycine, and cholyldiodotyrosine lowered the serum total cholesterol/total phospholipids (TC/TP) ratio of cholesterol-fed rabbits. Cholylleucine was the most effective, and completely prevented atherosclerosis in rabbits fed cholesterol for 7 weeks. Cholyltyrosine also had prophylactic activity against fatty liver. Cholesterol derivs. did not lower the TC/TP ratio. Serum glucose-6-phosphatase, glutamate-oxalacetate (GOT) and glutamate-pyruvate transaminase (GPT) activities did not change. Cholesterol administration decreased hepatic glucose
-6-phosphatase, and cholyl amino acids did not restore it. Cholesterol administration did not change serum GOT and GPT activities, but cholylleucine and its Et ester markedly increased their serum levels.

IT $\frac{22154-47-8}{\text{RL: PROC (Process)}}$

(cholesterol in blood serum after administration of)

RN 22154-47-8 CAPLUS

CN Glycine, N-[N-[N-[N-(N-choloylglycyl)glycyl]glycyl]glycyl](8CI) (CA INDEX NAME)

Absolute stereochemistry.

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L24 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                            2004:633410 CAPLUS
DOCUMENT NUMBER:
                            141:179562
                            Multivalent constructs for therapeutic and
TITLE:
                            diagnostic applications
                            Arbogast, Christophe; Bussat, Philippe; Dransfield,
INVENTOR(S):
                            Daniel T.; Fan, Hong; Linder, Karen; Marinelli, Edmund
                            R.; Nanjappan, Palaniappa; Nunn, Adrian; Pillai, Radhakrishna; Pochon, Sybille; Ramalingam,
                            Kondareddiar; Sato, Aaron; Shrivastava, Ajay; Song,
                            Bo; Swenson, Rolf E.; Von Wronski, Mathew A.; Walker,
                            Sharon Michele
                            Bracco International B. V., Neth.; Dyax Corporation
PATENT ASSIGNEE(S):
                            PCT Int. Appl., 320 pp.
SOURCE:
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                            KIND
                                    DATE
                                                  APPLICATION NO.
                                                                             DATE
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                                    20040805
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              KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
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              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                                                                             20030303
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                                    20051026
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                                                  US 2003-440201P
                                                                      P 20030115
                                                  US 2003-379287
                                                                         A 20030303
                                                  US 2002-360821P
                                                                         Р
                                                                             20020301
                                                  WO 2003-US28838
                                                                         W 20030911
     The invention features multivalent constructs using small
      targeting moieties which bind to different sites of the same target
     allowing for improved localization to the desired target and providing
      improved means for detecting, imaging and/or treating the target site.
      These targeting constructs may be linked or conjugated to a detectable
     label and/or a therapeutic agent and used to deliver the detectable label and/or therapeutic agent to the target of interest. The target may be a
      receptor involved in angiogenesis, hyperproliferative disorders or wound
      healing. Among examples provided are human carcinoma cell growth
      inhibition by an antiangiogenic heterodimeric peptide binding to VEGF
      receptor 2 (KDR), and ultrasound imaging using microbubbles derivatized
      with a KDR-binding heterodimer.
      599211-54-8P 612494-17-4P
      RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic
      use); BIOL (Biological study); PREP (Preparation); USES (Uses)
         (multivalent constructs for therapeutic and diagnostic
         applications)
      599211-54-8 CAPLUS
RN
CN
      L-Lysinamide, N-acetyl-L-alanyl-L-glutaminyl-L-\alpha-aspartyl-L-
      tryptophyl-L-tyrosyl-L-tyrosyl-L-\alpha-aspartyl-L-\alpha-glutamyl-L-
      isoleucyl-L-leucyl-L-seryl-L-methionyl-L-alanyl-L-\alpha-aspartyl-L-
      glutaminyl-L-leucyl-L-arginyl-L-histidyl-L-alanyl-L-phenylalanyl-L-leucyl-
      L-serylglycylglycylglycylglycylglycyl-N6-[[2-(2-aminoethoxy)ethoxy]acetyl]-
       (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

PAGE 1-D

$$\begin{array}{c|c} & & & \\ &$$

PAGE 1-E

RN

612494-17-4 CAPLUS L-Lysine, N-acetyl-L-alanyl-L-glutaminyl-L- α -aspartyl-L-tryptophyl-L-tyrosyl-L- α -aspartyl-L- α -glutamyl-L-isoleucyl-L-

 $\label{leucyl-L-seryl-L-methionyl-L-alanyl-L-alanyl-L-glutaminyl-L-leucyl-L-arginyl-L-histidyl-L-alanyl-L-phenylalanyl-L-leucyl-L-serylglycylglycylglycylglycylglycylglycyl-L-lysyl-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)-3-methylbutyl]- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

PAGE 1-A

PAGE 1-C

PAGE 2-B

PAGE 2-E

NH R

L24 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:818316 CAPLUS

DOCUMENT NUMBER:

139:328319

TITLE:

<u>Multivalent</u> constructs for therapeutic and

diagnostic applications

INVENTOR(S):

Arbogast, Christophe; Bussat, Philippe; Dransfield, Daniel T.; Fan, Hang; Linder, Karen E.; Marinelli, Edmund R.; Nanjappan, Palaniappa; Nunn, Adrian; Pillai, Radhakrishna; Pochon, Sybille; Ramalingam, Kondareddiar; Sato, Aaron; Shrivastava, Ajay; Song, Bo; Swenson, Rolf E.; Von Wronski, Mathew A.; Walker,

Sharon Michele

PATENT ASSIGNEE(S):

Bracco International BV, Neth.; Dyax Corp.

PCT Int. Appl., 278 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE			APPL	CAT	ION I	NO.		Di	ATE	
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		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005519980 T2 20050707 JP 2003-581813 20030303

PRIORITY APPLN. INFO.: US 2002-360821P P 20020301

US 2003-440201P P 20030115

WO 2003-US6656 W 20030303

AB The invention features <u>multivalent</u> constructs using small targeting moieties which bind to different sites of the same target allowing for improved localization to the desired target and providing improved means for detecting, imaging and/or treating the target site. These targeting constructs may be linked or conjugated to a detectable label and/or a therapeutic agent and used to deliver the detectable label and/or therapeutic agent to the target of interest. The target may be a receptor involved in angiogenesis, hyperproliferative disorders or wound healing. Among examples provided are human carcinoma cell growth inhibition by an antiangiogenic heterodimeric peptide binding to VEGF receptor 2 (KDR), and ultrasound imaging using microbubbles derivatized with a KDR-binding heterodimer.

IT 599211-54-8P 612494-17-4P

RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(multivalent constructs for therapeutic and diagnostic applications)

RN 599211-54-8 CAPLUS

CN

L-Lysinamide, N-acetyl-L-alanyl-L-glutaminyl-L- α -aspartyl-L-tryptophyl-L-tyrosyl-L-tyrosyl-L- α -aspartyl-L- α -glutamyl-L-isoleucyl-L-leucyl-L-seryl-L-methionyl-L-alanyl-L- α -aspartyl-L-glutaminyl-L-leucyl-L-arginyl-L-histidyl-L-alanyl-L-phenylalanyl-L-leucyl-L-serylglycylglycylglycylglycyl-N6-[[2-(2-aminoethoxy)ethoxy]acetyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-C

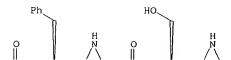
PAGE 1-D

PAGE 1-E

RN 612494-17-4 CAPLUS

CN

Absolute stereochemistry.



PAGE 1-D

PAGE 2-E

NН

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:133532 CAPLUS

DOCUMENT NUMBER:

132:175803

TITLE:

 $\underline{\text{Multivalent}}$ integrin $\alpha v \beta 3$ and

metastasis-associated receptor ligands

INVENTOR(S): Fok, Kam F.; Tjoeng, Foe S. G.D. Searle and Co., USA PCT Int. Appl., 124 pp. PATENT ASSIGNEE(S): SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009143	A1	20000224	WO 1999-US4296	19990407

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                                                                T2
PRIORITY APPLN. INFO.:
                                                                                                             US 1998-96442P
                                                                                                                                                                       19980813
                                                                                                              WO 1999-US4296
                                                                                                                                                                       19990407
OTHER SOURCE(S):
                                                              MARPAT 132:175803
           The present invention relates to pharmaceutical compds. which are
            \underline{\text{multivalent}} \alpha v \beta 3 receptor/metastasis-associated receptor
            ligands. The use of these multivalent ligands alone or in
            conjunction with other agents in pharmaceutical compns., and in methods
            for treating conditions mediated by avb3 for the treatment of cancer and
            other angiogenic diseases, such as diabetic retinopathy, arthritis,
            hemangiomas, and psoriasis, are also disclosed.
            259107-62-5 259107-65-8
            RL: PEP (Physical, engineering or chemical process); PRP (Properties);
            PROC (Process)
                    (linker; multivalent AvB3 and metastasis-associated receptor
                    ligands)
RN
            259107-62-5 CAPLUS
CN
            \verb|L-Alanine|, glycyl-L-\alpha-aspartyl-L-serylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycy
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Absolute stereochemistry.

(9CI) (CA INDEX NAME)

PAGE 1-B

RN 259107-65-8 CAPLUS

CN Glycine, glycyl-L-α-aspartyl-L-serylglycylglycylglycylglycylglycylgl ycylgl

Absolute stereochemistry.

PAGE 1-B

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

PAGE 1-C

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT